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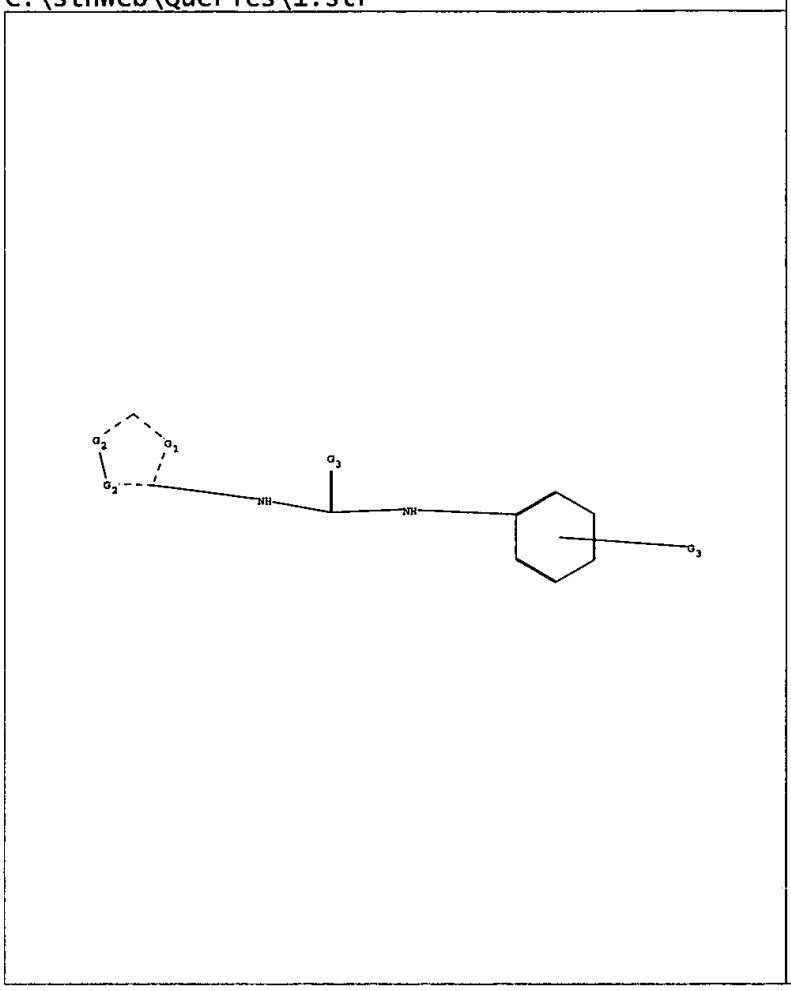

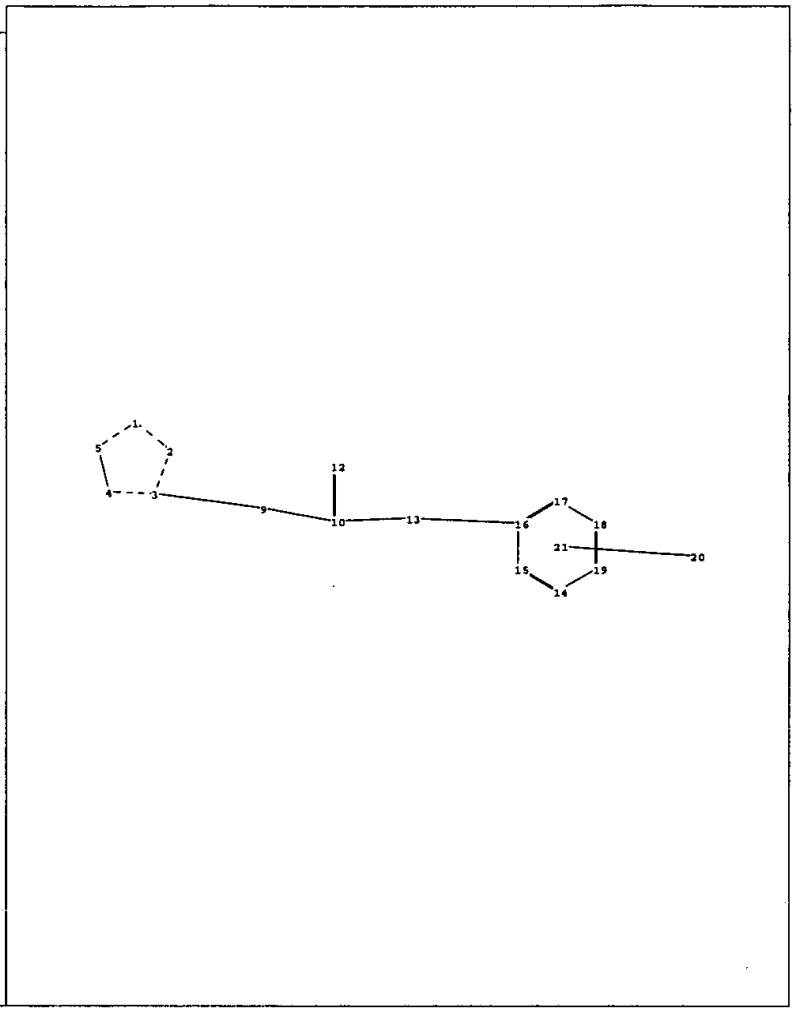

chain nodes :
9 10 12 13 20
ring nodes :
1 2 3 4 5 14 15 16 17 18 19
chain bonds :
3-9 9-10 10-12 10-13 13-16
ring bonds :
1-2 1-5 2-3 3-4 4-5 14-15 14-19 15-16 16-17 17-18 18-19
exact/norm bonds :
1-2 1-5 2-3 3-4 3-9 4-5 9-10 10-12 10-13 13-16
normalized bonds :
14-15 14-19 15-16 16-17 17-18 18-19
isolated ring systems :
containing 1 : 14 :

G1:C,N

G2:C,O,N

G3:O,S

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 9:CLASS 10:CLASS 12:CLASS 13:CLASS 14:Atom
15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:CLASS 21:CLASS

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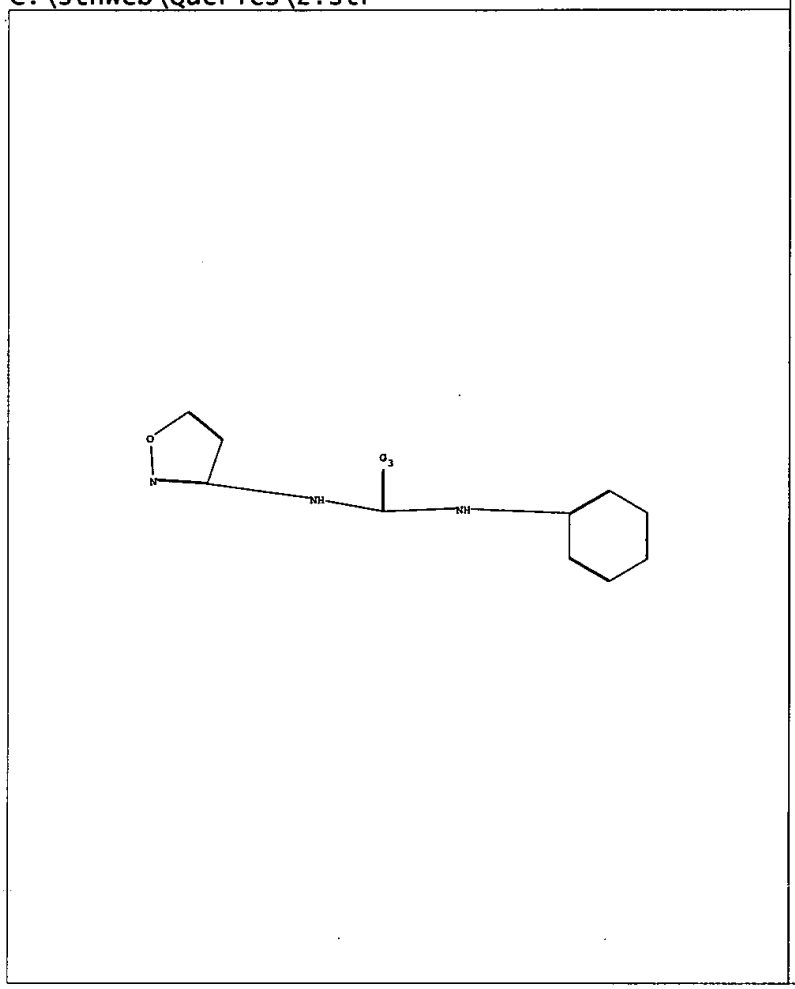

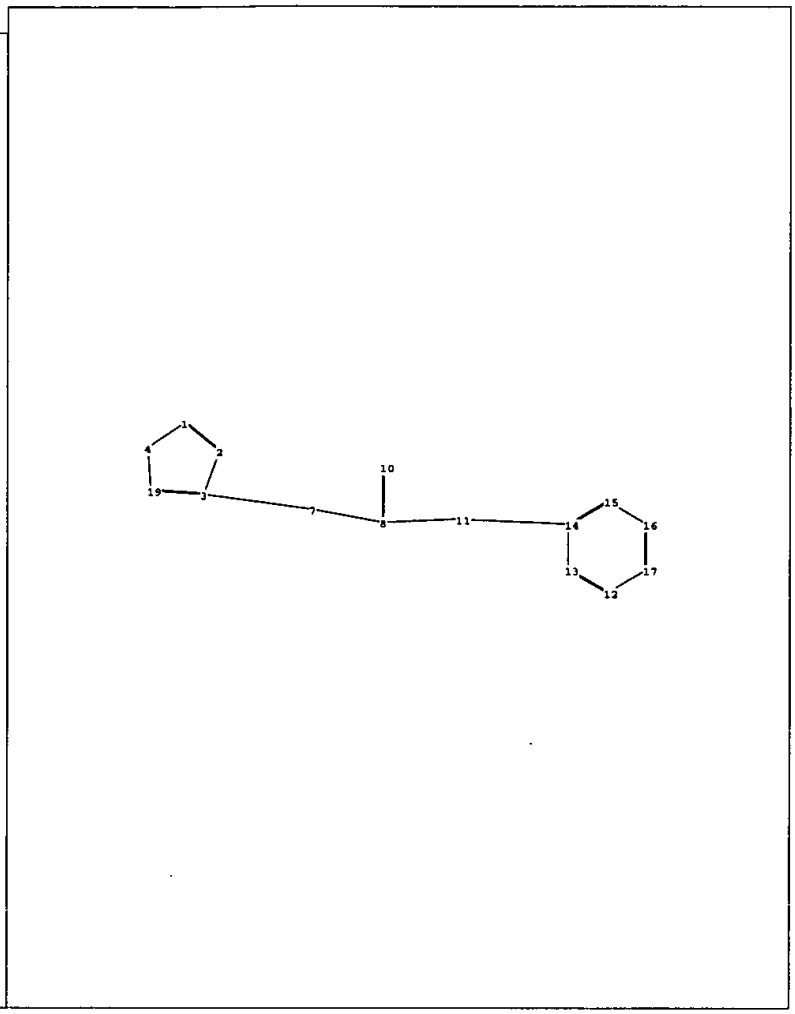

chain nodes :
7 8 10 11
ring nodes :
1 2 3 4 12 13 14 15 16 17 19
chain bonds :
3-7 7-8 8-10 8-11 11-14
ring bonds :
1-2 1-4 2-3 3-19 4-19 12-13 12-17 13-14 14-15 15-16 16-17
exact/norm bonds :
3-7 3-19 7-8 8-10 8-11 11-14
exact bonds :
1-2 1-4 2-3 4-19
normalized bonds :
12-13 12-17 13-14 14-15 15-16 16-17
isolated ring systems :
containing 1 : 12 :

G1:C,N

G2:C,O,N

G3:O,S

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 7:CLASS 8:CLASS 10:CLASS 11:CLASS 12:Atom 13:Atom
14:Atom 15:Atom 16:Atom 17:Atom 19:Atom

MRP1 inhibitors

INVENTOR(S): Lander, Peter Ambrose; Wang, Qiuping; Vepachedu, Sreenivasarao

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001096346	A1	20011220	WO 2001-US16475	20010531
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1301518	A1	20030416	EP 2001-941546	20010531
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003216425	A1	20031120	US 2003-296481	20030416
US 6673809	B2	20040106		

PRIORITY APPLN. INFO.: US 2000-211430P P 20000614
WO 2001-US16475 W 20010531

OTHER SOURCE(S): MARPAT 136:53742

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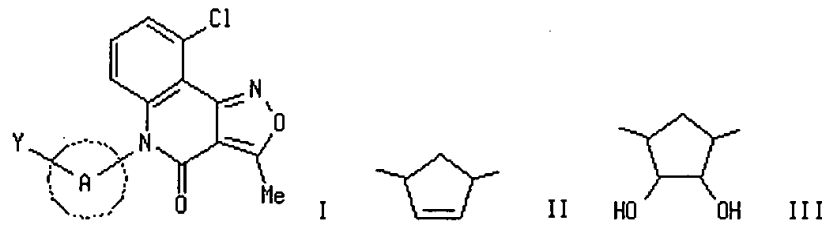
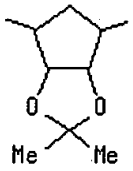


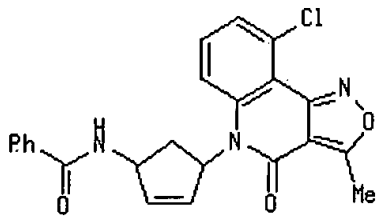
I

II

III



IV



V

AB The title compds. [I; A = II-IV; Y = ECOR1; ENR2R3; E = a bond, CH2; R1 = H, alkyl, cycloalkyl, etc.; R2 = H, alkyl, alkylaryl, aryl; R3 = H, alkyl, alkoxy, etc.], useful for inhibiting resistant neoplasms where the

resistance is conferred in part or in total by MRP1 (no data), were prepd. Thus, reacting 5-(4-aminocyclopent-2-enyl)-9-chloro-3-methyl-5H-isoxazolo[4,3-c]quinolin-4-one (prepn. given) with benzoyl chloride in the presence of Et3N in CH2Cl2 afforded 55% V.

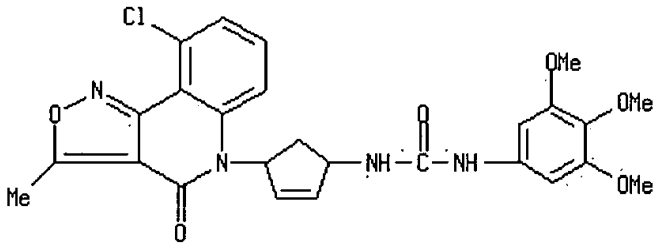
IT **381688-83-1P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 5H-isoxazolo[4,3-c]quinolin-4-ones as MRP1 inhibitors)

RN 381688-83-1 HCAPLUS

CN Urea, N-[4-(9-chloro-3-methyl-4-oxoisoxazolo[4,3-c]quinolin-5(4H)-yl)-2-cyclopenten-1-yl]-N'-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 15 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2001:746592 HCAPLUS

DOCUMENT NUMBER: 136:95577

TITLE: Discovery of heterocyclic ureas as a new class of raf kinase inhibitors: identification of a second generation lead by a combinatorial chemistry approach

AUTHOR(S): Smith, R. A.; Barbosa, J.; Blum, C. L.; Bobko, M. A.; Caringal, Y. V.; Dally, R.; Johnson, J. S.; Katz, M. E.; Kennure, N.; Kingery-Wood, J.; Lee, W.; Lowinger, T. B.; Lyons, J.; Marsh, V.; Rogers, D. H.; Swartz, S.; Walling, T.; Wild, H.

CORPORATE SOURCE: Department of Chemistry Research, Bayer Research Center, West Haven, CT, 06516, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(20), 2775-2778
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Heterocyclic ureas, such as N-3-thienyl N'-aryl ureas, have been identified as novel inhibitors of raf kinase, a key mediator in the ras signal transduction pathway. Structure-activity relationships were established, and the potency of the screening hit was improved 10-fold to IC50=1.7 μM. A combinatorial synthesis approach enabled the identification of a breakthrough lead (IC50=0.54 μM) for a second generation series of heterocyclic urea raf kinase inhibitors.

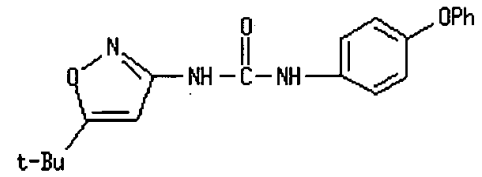
IT **228998-90-1P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)

(heterocyclic ureas as raf kinase inhibitors)

RN 228998-90-1 HCAPLUS

CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 16 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN

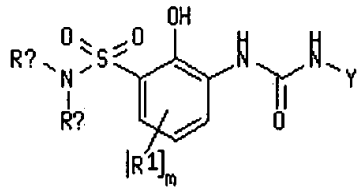
Full Text | Citing References

ACCESSION NUMBER: 2001:693247 HCAPLUS
DOCUMENT NUMBER: 135:257156
TITLE: Preparation of sulfonamido substituted phenyl heteroaryl ureas as IL-8 receptor antagonists
INVENTOR(S): Widdowson, Katherine L.; Jin, Qi
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001068568	A2	20010920	WO 2001-US7746	20010309
WO 2001068568	A3	20020321		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001045606	A5	20010924	AU 2001-45606	20010309
EP 1261336	A2	20021204	EP 2001-918542	20010309
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003535820	T2	20031202	JP 2001-567669	20010309
NO 2002004193	A	20020903	NO 2002-4193	20020903
US 2003055286	A1	20030320	US 2002-220772	20020905
US 6608077	B2	20030819		

PRIORITY APPLN. INFO.: US 2000-188410P P 20000310
WO 2001-US7746 W 20010309

OTHER SOURCE(S): MARPAT 135:257156
GI



I

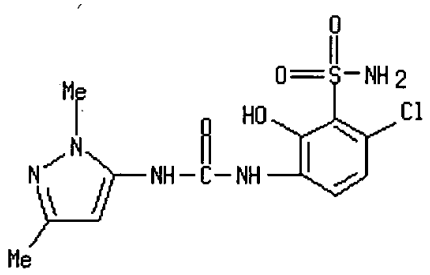
AB The title compds. [I; Rb = H, OH, aryl, etc.; m = 1-3; R1 = H, halo, NO2, etc.; Y = furyl, thiophenyl, pyridyl, etc.], useful in the treatment of disease states mediated by the chemokine, Interleukin-8 (IL-8), were prepd. Thus, reacting 3-amino-6-chloro-2-hydroxybenzenesulfonamide with 2-(azidocarbonyl)pyridine (prepns. given) in DMF afforded 62% I [Rb = H; R1 = 4-Cl; Y = 2-pyridyl]. The IL-8, and GRO-α chemokine effects of compds. I were detd. by in vitro assay (IC50 < 30 μM).

IT **361392-27-0P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of sulfonamido substituted Ph heteroaryl ureas as IL-8 receptor antagonists)

RN 361392-27-0 HCAPLUS

CN Benzenesulfonamide, 6-chloro-3-[[[(1,3-dimethyl-1H-pyrazol-5-yl)amino]carbonyl]amino]-2-hydroxy- (9CI) (CA INDEX NAME)



L6 ANSWER 17 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2001:693081 HCAPLUS
DOCUMENT NUMBER: 135:257046
TITLE: Preparation of sulfonamido substituted diphenyl ureas as IL-8 receptor antagonists
INVENTOR(S): Widdowson, Katherine L.; Jin, Qi
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001068084	A1	20010920	WO 2001-US8672	20010316

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,

YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1263427	A1	20021211	EP 2001-924195		20010316
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2003526664	T2	20030909	JP 2001-566648		20010316
BG 107013	A	20030530	BG 2002-107013		20020820
US 2003078250	A1	20030424	US 2002-220989		20020906
US 6664259	B2	20031216			
NO 2002004367	A	20021022	NO 2002-4367		20020912
PRIORITY APPLN. INFO.:			US 2000-189848P	P	20000316
			WO 2001-US8672	W	20010316

OTHER SOURCE(S): MARPAT 135:257046

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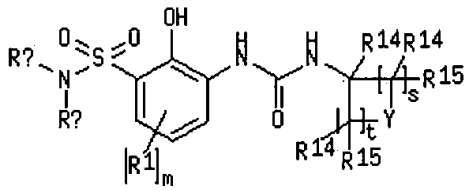


I

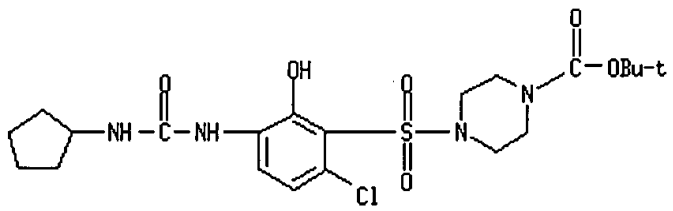
AB The title compds. [I; Rb = H, OH, aryl, etc.; m = 1-3; t = 0-2; s = 1-3; R1 = H, halo, NO2, etc.; Y = O, CO, NR14, etc.; R14, R15 = H, alkyl, ORa (Ra = alkyl, aryl, heteroaryl, etc.)] and their pharmaceutically acceptable salts, useful in the treatment of disease states mediated by the chemokine, Interleukin-8 (IL-8), were prepd. Thus, reacting 3-amino-6-chloro-2-hydroxybenzenesulfonamide (prepn. given) with cyclohexyl isocyanate in DMF afforded 52% I [Rb = H; R1 = 4-Cl; R14, R15 = H; t, s = 2; Y = CH2]. The IL-8, and GRO-α chemokine inhibitory effects of compds. I were detd. by in vitro assay (data given).

IT 361391-80-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); **THU (Therapeutic use)**; **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of sulfonamido substituted di-Ph ureas as IL-8 receptor antagonists)

RN 361391-80-2 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[[6-chloro-3-[[(cyclopentylamino)carbonyl]amino]-2-hydroxyphenyl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 18 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN

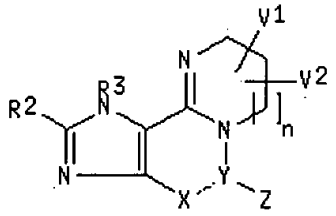
Full Text | Citing References

ACCESSION NUMBER: 2001:489404 HCAPLUS
DOCUMENT NUMBER: 135:76901
TITLE: Preparation of quinazoline and quinoline derivatives as remedies for diseases mediated by autophosphorylation of PDGF receptors
INVENTOR(S): Ueno, Kimihisa; Ogawa, Akira; Ohta, Yoshihisa; Nomoto, Yuji; Takasaki, Kotaro; Kusaka, Hideaki; Yano, Hiroshi; Suzuki, Chiharu; Nakanishi, Satoshi
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: PCT Int. Appl., 126 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047931 A1		20010705	WO 2000-JP9160	20001222

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR

PRIORITY APPLN. INFO.: JP 1999-366313 19991224
OTHER SOURCE(S): MARPAT 135:76901
GI



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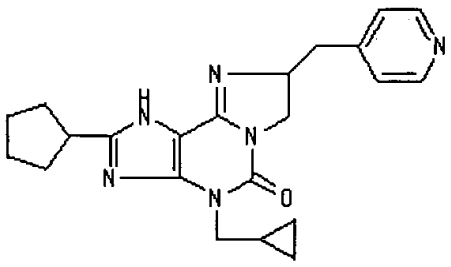


II

AB Title compds. [I; X = N, CH; R3, R4, R5, R6 independently = H, Cl, F, CH3, CH3O, NO2; A = 4-CH3C6H4CH2OCONH, 3-ClC6H4CH(CH3)OCONH, 4-FC6H4CH2OCONH, 2-ClC6H4CH(CH3)OCONH, 2-ClC6H4CH2CH2CH2OCONH, 4-CF3C6H4CH2OCONH, CH3(CH2)5OCONH, (CH3CH2)2N(CH2)3NHCSNH, YNHCONH, 4-ClC6H4O(CH2)2S, 4-ClC6H4(CH2)2NH, 3-BrC6H4CONHCSNH, C6H5COO, OH, OCH2COOCH3, OCH2COOH; Y = heterocycle, heterocyclylalkyl] and

pharmaceutically acceptable salts are prepd. as remedies for diseases mediated by autophosphorylation of PDGF receptors. Thus, the title claimed compd. II was prepd. and biol. tested.

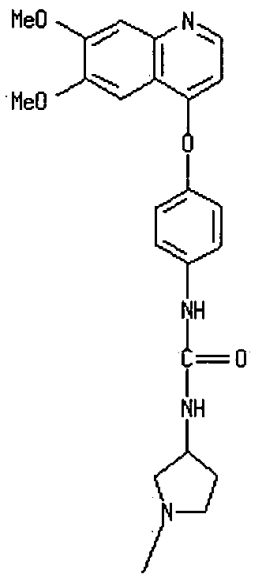
IT **347155-22-0P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of quinazolines and quinolines as remedies for diseases mediated by autophosphorylation of PDGF receptors)

RN 347155-22-0 HCAPLUS

CN Urea, N-[4-[(6,7-dimethoxy-4-quinolinyl)oxy]phenyl]-N'-[1-(phenylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

Ph—CH2

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 19 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2001:489372 HCAPLUS
DOCUMENT NUMBER: 135:92649
TITLE: Preparation of quinazoline and quinoline derivatives as remedies for diseases mediated by autophosphorylation of PDGF receptors
INVENTOR(S): Sakai, Teruyuki; Senga, Teruhumi; Furuta, Takayuki; Miwa, Atushi
PATENT ASSIGNEE(S): Kirin Beer Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 1068 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1

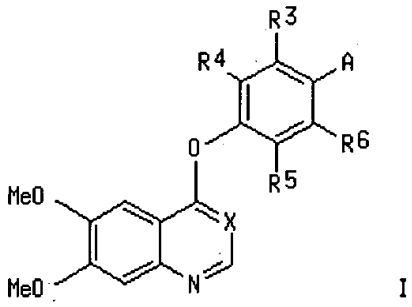
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047890	A1	20010705	WO 2000-JP9157	20001222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001022232	A5	20010709	AU 2001-22232	20001222
EP 1243582	A1	20020925	EP 2000-985844	20001222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.:			
JP 1999-377486	A	19991224	
JP 1999-374494	A	19991228	
JP 2000-177790	A	20000614	
WO 2000-JP9157	W	20001222	

OTHER SOURCE(S): MARPAT 135:92649

GI



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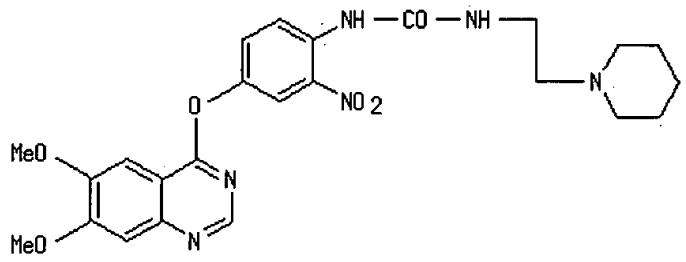
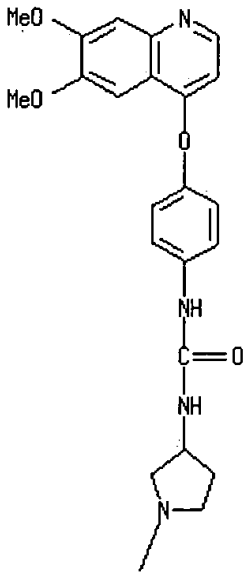


II

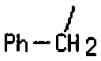
AB Title compds. [I; X = N, CH; R3, R4, R5, R6 independently = H, Cl, F, CH3, CH3O, NO2; A = 4-CH3C6H4CH2OCONH, 3-ClC6H4CH(CH3)OCONH, 4-FC6H4CH2OCONH, 2-ClC6H4CH(CH3)OCONH, 2-ClC6H4CH2CH2CH2OCONH, 4-CF3C6H4CH2OCONH,CH3(CH2)5OCONH, (CH3CH2)2N(CH2)3NHCSNH, YNHCONH, 4-ClC6H4O(CH2)2S, 4-ClC6H4(CH2)2NH, 3-BrC6H4CONHCSNH, C6H5COO, OH, OCH2COOCH3, OCH2COOH; Y = heterocycle, heterocyclylalkyl] and pharmaceutically acceptable salts are prepd. as remedies for diseases mediated by autophosphorylation of PDGF receptors, particularly useful as intimal thickening inhibitors. Thus, the title claimed compd. II was prepd. and biol. tested.

IT 347155-22-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of quinazolines and quinolines as remedies for diseases mediated by autophosphorylation of PDGF receptors)
RN 347155-22-0 HCAPLUS
CN Urea, N-[4-[(6,7-dimethoxy-4-quinolinyl)oxy]phenyl]-N'-[1-(phenylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 20 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2000:628110 HCAPLUS
DOCUMENT NUMBER: 133:222450
TITLE: Preparation of arylsulfonylaminoalkynoates as metalloprotease inhibitors
INVENTOR(S): Natchus, Michael George; Bookland, Roger Gunnard; Almstead, Neil Gregory; Pikul, Stanislaw; De, Biswanath; Cheng, Menyan
PATENT ASSIGNEE(S): Procter & Gamble Co., USA
SOURCE: PCT Int. Appl., 120 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2000051975	A1	20000908	WO 2000-US5162	20000301	
W: AE, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
US 6197770	B1	20010306	US 2000-517080	20000301	
NZ 513831	A	20010928	NZ 2000-513831	20000301	
EP 1165501	A1	20020102	EP 2000-912064	20000301	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, IE, SI, LT, LV, FI, RO					
BR 2000008716	A	20020924	BR 2000-8716	20000301	
JP 2002538136	T2	20021112	JP 2000-602203	20000301	
AU 764051	B2	20030807	AU 2000-33860	20000301	
AU 2000033860	A5	20000921			
ZA 2001006967	A	20020313	ZA 2001-6967	20010823	
NO 2001004242	A	20010927	NO 2001-4242	20010831	
PRIORITY APPLN. INFO.:			US 1999-122644P	P 19990303	
			WO 2000-US5162	W 20000301	

OTHER SOURCE(S): MARPAT 133:222450

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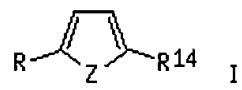


I

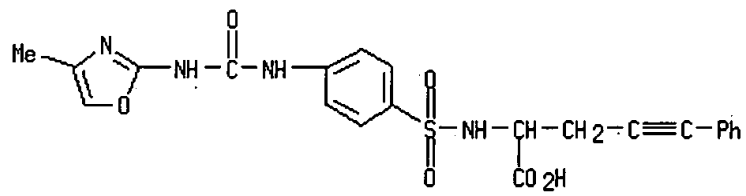
AB Title compds. [I; R = SO2NR2CR1(COX)CR3R4(CR5R5')kZ1R13; R1-R5,R5' = H or a substituent; R13 = H, (un)substituted alkyl, -CONH2, etc.; R14 = cycloalkyl, heterocyclyl, DZ2R27, (un)substituted NH2, etc.; D = O, S, CH:CH, N:N, etc.; R27 = alkyl, (hetero)aryl, etc.; X = OH or NHOH; Z = O, S, CH:CH, (alkyl)imino, etc.; Z1 = C≡C or (un)substituted CH:CH; Z2 = bond or (un)substituted alkylene] were prepd. as metalloprotease inhibitors (no data). Thus, PhC≡CCH2CH(NH2)CO2Me was N-acylated by 4-FC6H4C6H4(SO2Cl)-4 to give, after sapon., PhC≡CCH2(CO2H)NHSO2C6H4(C6H4F-4)-4.

IT **291533-73-8P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arylsulfonylaminoalkynoates as metalloprotease inhibitors)

RN 291533-73-8 HCAPLUS

CN 4-Pentynoic acid, 2-[[[4-[[[(4-methyl-2-oxazolyl)amino]carbonyl]amino]phenyl]sulfonyl]amino]-5-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 21 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 1999:421660 HCAPLUS
DOCUMENT NUMBER: 131:44811
TITLE: Preparation of aryl- and heteroaryl-substituted heterocyclic ureas as raf kinase inhibitors
INVENTOR(S): Dumas, Jacques; Khire, Uday; Lowinger, Timothy Bruno; Paulsen, Holger; Riedl, Bernd; Scott, William J.; Smith, Roger A.; Wood, Jill E.; Hatoum-Mokdad, Holia; Johnson, Jeffrey; Redman, Aniko; Sibley, Robert
PATENT ASSIGNEE(S): Bayer Corporation, USA
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9932455	A1	19990701	WO 1998-US26082	19981222
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2315713	AA	19990701	CA 1998-2315713	19981222
AU 9919055	A1	19990712	AU 1999-19055	19981222
AU 765412	B2	20030918		
EP 1056725	A1	20001206	EP 1998-963810	19981222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9814361	A	20011127	BR 1998-14361	19981222
JP 2001526269	T2	20011218	JP 2000-525392	19981222
CN 1117081	B	20030806	CN 1998-812504	19981222
NO 2000003231	A	20000822	NO 2000-3231	20000621
BG 104598	A	20010228	BG 2000-104598	20000712

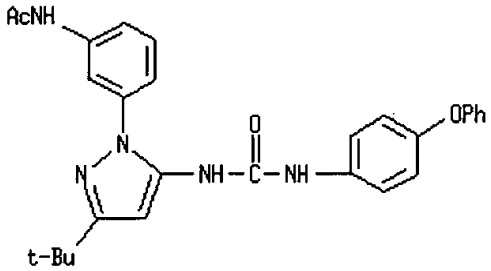
PRIORITY APPLN. INFO.: US 1997-996181 A 19971222
WO 1998-US26082 W 19981222

OTHER SOURCE(S): MARPAT 131:44811

AB The title compds. ANHCONHB (A = heteroaryl; B = aryl, heteroaryl), raf kinase inhibitors, were prepd. E.g., N-(1-phenyl-3-tert-butyl-5-pyrazolyl)-N'-(4-(4-pyridinylmethyl)phenyl)urea was prepd.

IT **227623-06-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aryl- and heteroaryl-substituted heterocyclic ureas as raf kinase inhibitors)

RN 227623-06-5 HCAPLUS
CN Acetamide, N-[3-[3-(1,1-dimethylethyl)-5-[[[(4-phenoxyphenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 22 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 1999:332965 HCAPLUS
DOCUMENT NUMBER: 131:44643
TITLE: Preparation of phenol derivatives as antioxidants and ACAT inhibitors
INVENTOR(S): Suzuki, Toshikazu; Ohmizu, Hiroshi; Hashimura, Yoshitada; Kubota, Hitoshi; Tanaka, Keiko
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 70 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11139969	A2	19990525	JP 1998-220951	19980805

PRIORITY APPLN. INFO.: JP 1997-212376 19970807
OTHER SOURCE(S): MARPAT 131:44643
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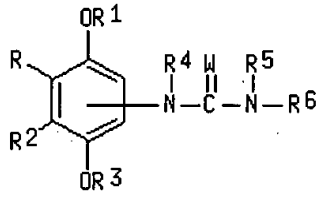

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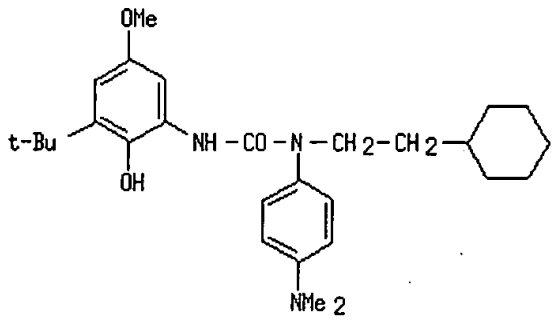


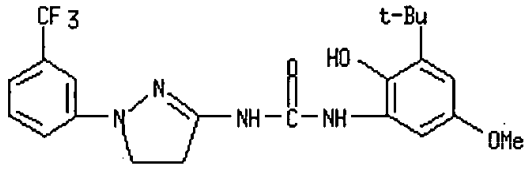
II

AB The title compds. I [R = H, (un)substituted alkyl, etc.; R1 = (un)substituted alkyl; R2 = (un)substituted alkyl, etc.; OR3= (protected) OH; R4 = H, (un)substituted alkyl, etc.; W = O, etc.; NR5R6 = (mono- or disubstituted) amino, etc.] are prepd. The title compd. II in vitro showed IC50 of 0.000067 μM against ACAT.

IT **195312-41-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of phenol derivs. as antioxidants and ACAT inhibitors)

RN 195312-41-5 HCAPLUS

CN Urea, N-[4,5-dihydro-1-[3-(trifluoromethyl)phenyl]-1H-pyrazol-3-yl]-N'-[3-(1,1-dimethylethyl)-2-hydroxy-5-methoxyphenyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 23 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 1999:325902 HCAPLUS
DOCUMENT NUMBER: 130:352546
TITLE: Preparation of amides containing leucine or methionine for inhibition of the interaction of vascular cell-adhesion molecule-1 (VCAM-1)and fibronectin with integrin very late antigen 4 (α4β1)
INVENTOR(S): Brittain, David Robert; Johnstone, Craig
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9924398	A2	19990520	WO 1998-GB3334	19981109
WO 9924398	A3	19990805		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2308716	AA	19990520	CA 1998-2308716	19981109
AU 9910420	A1	19990531	AU 1999-10420	19981109
EP 1030835	A2	20000830	EP 1998-952872	19981109
EP 1030835	B1	20030122		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001522831	T2	20011120	JP 2000-520412	19981109

AT 231488	E	20030215	AT 1998-952872		19981109
ZA 9810330	A	19990512	ZA 1998-10330		19981111
NO 2000002158	A	20000711	NO 2000-2158		20000427
US 6344570	B1	20020205	US 2000-554224		20000711
PRIORITY APPLN. INFO.:			GB 1997-23789	A	19971112
			WO 1998-GB3334	W	19981109

OTHER SOURCE(S): MARPAT 130:352546
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

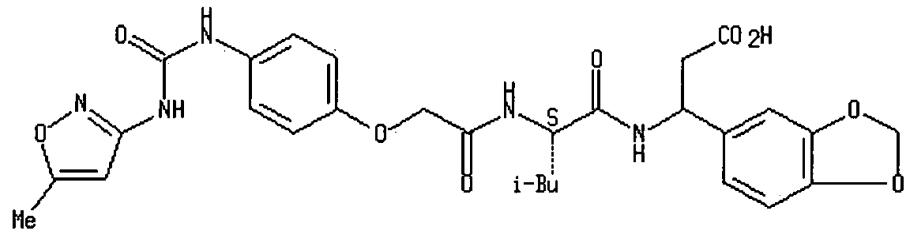
AB The title compds. [I; R1 = II (in the para or meta position); R2, R3 = H, NO2, alkyl, etc.; R2 and R3 together with the Ph to which they are attached form a 9-10 membered bicyclic ring system; R4 = alkyl; R5 = H, alkyl; R6 = alkyl, alkylcycloalkyl, alkylalkoxyl, etc.; R7 = alkyl, alkoxylcarbonyl, alkenyl, etc.; R8 = (un)substituted aryl, heteroaryl, bicyclic heteroaryl ring system linked to the nitrogen via a ring carbon, etc.; R9, R10 = H, alkyl; NR8R9 = dihydroindolyl, dihydroquinolinyl; R11 = CO2H, tetrazolyl, alkyl sulfonylcarbamyl, sulfo, sulfino; Y = O, S, SO2; m = 0-1; n = 0-4; with the proviso that when m and n cannot both be 0 and when m = 1, n = 0] and their pharmaceutically acceptable salts, useful in the treatment of multiple sclerosis, rheumatoid arthritis, asthma, coronary artery disease and psoriasis, were prepd. E.g., a multi-step synthesis of amide III was given. Compds. I are effective at 0.1-15 mg/kg/day.

IT **225101-10-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amides contg. leucine or methionine for inhibition of the interaction of vascular cell-adhesion mol.-1 (VCAM-1)and fibronectin with integrin very late antigen 4 (α4β1))

RN 225101-10-0 HCAPLUS

CN β-Alanine, N-[[4-[[[(5-methyl-3-isoxazolyl)amino]carbonyl]amino]phenoxy]acetyl]-L-leucyl-3-(1,3-benzodioxol-5-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 24 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER:	1999:311199 HCAPLUS
DOCUMENT NUMBER:	130:325145
TITLE:	Preparation of aromatic heterocyclic compounds as antiinflammatory agents
INVENTOR(S):	Regan, John R.; Cirillo, Pier F.; Hickey, Eugene R.; Moss, Neil; Cywin, Charles L.; Pargellis, Christopher; Gilmore, Thomas A.

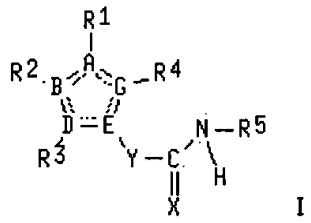
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9923091	A1	19990514	WO 1998-US22907	19981029
W: AU, BG, BR, BY, CA, CN, CZ, HR, HU, ID, IL, JP, KR, KZ, LT, LV, MX, NO, NZ, PL, RO, RU, TR, UA, UZ, VN, YU				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2308428	AA	19990514	CA 1998-2308428	19981029
AU 9913675	A1	19990524	AU 1999-13675	19981029
US 6080763	A	20000627	US 1998-181743	19981029
EP 1028953	A1	20000823	EP 1998-957405	19981029
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001521934	T2	20011113	JP 2000-518962	19981029
US 6228881	B1	20010508	US 1999-461446	19991214
US 2001039290	A1	20011108	US 2001-808084	20010314

PRIORITY APPLN. INFO.:

US 1997-64102P	P	19971103
US 1998-181743	A3	19981029
WO 1998-US22907	W	19981029
US 1999-461446	A3	19991214

OTHER SOURCE(S): MARPAT 130:325145
GI



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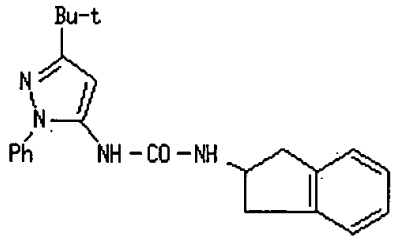


II

AB The title compds. I [A = C, N; B = C, N, O, etc.; D = C, N, S; E = C, N; G = C, S, N; X = S, O, etc.; Y = NH, etc.; R1 = (un)substituted, (partially or fully halogenated) alkyl, etc.; R2 is H, (partially or fully halogenated) alkyl, etc., when B is C or N; R3 is Ph, naphthyl, etc., when D is C or N; or R1R2 = fused Ph or pyridinyl ring; or R2R3 = fused Ph or pyridinyl ring; R4 is H, (partially or fully halogenated) alkyl when G is C or N; R5 is Ph, naphthyl, heteroaryl, etc.] are prepd. I inhibit prodn. of cytokines involved in immunoregulation and inflammation such as interleukin-1 and tumor necrosis factor. Pyrazole deriv. II was prepd.

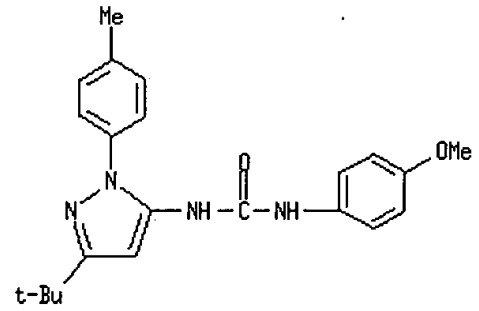
from phenylhydrazine and 4,4-dimethyl-3-oxopentanenitrile. Compds. of this invention had IC50 < 10 μM against TNF prodn. in an in vitro assay using THP cells.

IT **223724-76-3P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arom. heterocyclic compds. as antiinflammatory agents)

RN 223724-76-3 HCAPLUS

CN Urea, N-[3-(1,1-dimethylethyl)-1-(4-methylphenyl)-1H-pyrazol-5-yl]-N'-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 25 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:244635 HCAPLUS

DOCUMENT NUMBER: 130:296611

TITLE: Preparation of novel lactam as metalloprotease inhibitors

INVENTOR(S): Duan, Jinguw; Decicco, Carl P.; Wasserman, Zelda R.; Maduskuie, Thomas P., Jr.

PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA

SOURCE: PCT Int. Appl., 333 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

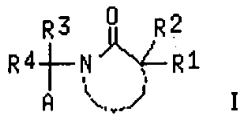
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

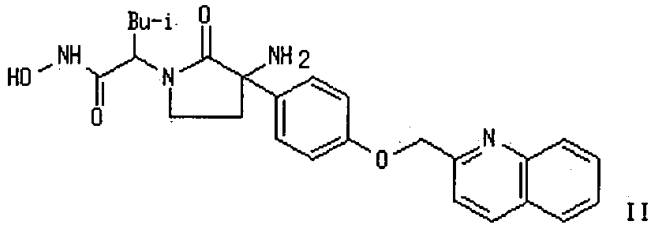
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9918074	A1	19990415	WO 1998-US21037	19981002
W: AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
ZA 9808967	A	20000403	ZA 1998-8967	19981001
CA 2305679	AA	19990415	CA 1998-2305679	19981002
AU 9896866	A1	19990427	AU 1998-96866	19981002
AU 747239	B2	20020509		
US 6057336	A	20000502	US 1998-165747	19981002
EP 1027332	A1	20000816	EP 1998-950954	19981002
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9815398	A	20001031	BR 1998-15398	19981002
EE 200000199	A	20010416	EE 2000-20000019919981002	
JP 2001519331	T2	20011023	JP 2000-514886	19981002
NO 2000000783	A	20000529	NO 2000-783	20000217

PRIORITY APPLN. INFO.: US 1997-62418P P 19971003
WO 1998-US21037 W 19981002
OTHER SOURCE(S): MARPAT 130:296611
GI



I



II

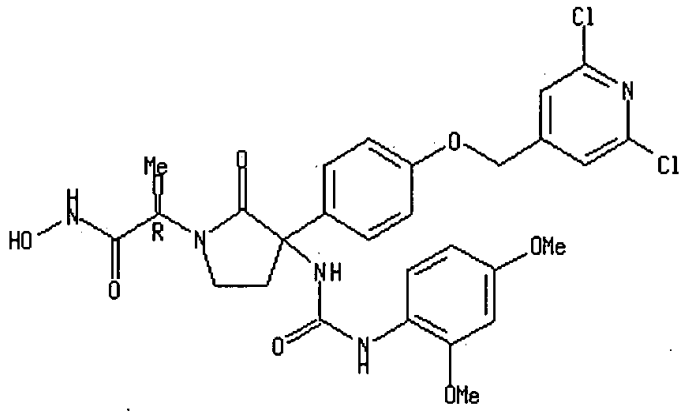
AB Title compds. [I; A is selected from COOH, CH2COOH, CONHOH, SH, CH2SH, PO(OH)2, etc.; ring B is a 4-8 membered cyclic amide contg. 0-3 heteroatoms from O, N, and S, etc.; R1 is phenylmethoxyphenyl, phenoxyphenyl, etc.; R2 is H, CH3, Et, i-Pr, etc.; R1-R2 combine to form heterocyclic; R3 is H, alkylene, heterocyclic, etc.; R4 is H, alkylene, etc.; R3-R4 combine to form heterocyclic], stereoisomer, and pharmaceutically acceptable salt thereof are prepd. as useful metalloprotease inhibitors. Thus, compd. II was prepd. via alkylation, oxidn., amination, and cyclization.

IT **223403-48-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel lactam metalloprotease inhibitors)

RN 223403-48-3 HCAPLUS

CN 1-Pyrrolidineacetamide, 3-[4-[(2,6-dichloro-4-pyridinyl)methoxy]phenyl]-3-□[[[(2,4-dimethoxyphenyl)amino]carbonyl]amino]-N-hydroxy-.alpha.-methyl-2-□oxo-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 26 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

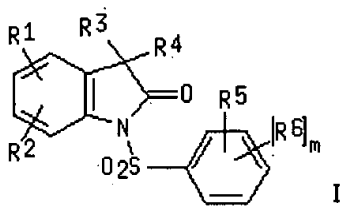
ACCESSION NUMBER: 1999:3288 HCAPLUS
DOCUMENT NUMBER: 130:66390
TITLE: Preparation of 1-benzenesulfonyl-1,3-dihydroindol-2-ones as vasopressin and/or oxytocin antagonists
INVENTOR(S): Di Malta, Alain; Foulon, Loic; Garcia, Georges; Nisato, Dino; Roux, Richard; Serradeil-Legal, Claudine; Valette, Gerard; Wagnon, Jean
PATENT ASSIGNEE(S): Sanofi, Fr.
SOURCE: U.S., 53 pp., Cont.-in-part of U.S. Ser. No. 129,310, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5849780	A	19981215	US 1994-323921	19941017
FR 2686878	A1	19930806	FR 1992-1034	19920130
FR 2686878	B1	19950630		
FR 2708605	A1	19950210	FR 1993-9404	19930730
EP 636608	A1	19950201	EP 1994-401737	19940728
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 5663431	A	19970902	US 1995-477571	19950607
US 5686624	A	19971111	US 1995-473302	19950607
US 5728723	A	19980317	US 1995-478738	19950607
US 5726322	A	19980310	US 1997-824305	19970326

PRIORITY APPLN. INFO.:

FR 1992-1034	A	19920130
FR 1993-9404	A	19930730
US 1993-129310	B2	19930930
EP 1994-401737	A	19940728
US 1994-323921	A3	19941017
US 1995-473302	A3	19950607

OTHER SOURCE(S): MARPAT 130:66390
GI



I

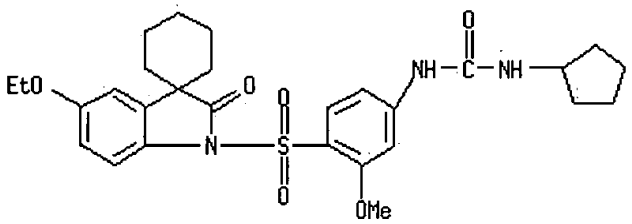
AB The title compds. [I; R1, R2 = H, OH, halo, etc.; R3R4 together with the carbon to which they are bonded = an optionally fused, (un)satd. (un)substituted C3-12 hydrocarbon ring; R5, R6 = H, halo, C1-7 alkyl, etc.; m = 1-4], having an affinity for the vasopressin V1 and V2 and/or oxytocin receptors, were prepd. Thus, treatment of 5-chloro-1,3-dihydro-3-spirocyclohexaneindol-2-one with NaH in THF followed by addn. of 2-methoxy-4-nitrobenzenesulfonyl chloride afforded I [R1 = 5-Cl; R2 = H; R3R4 = (CH2)5; R5 = 2-MeO; R6 = 4-NO2]. Biol. data for compds. I are given.

IT 161950-92-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); **THU (Therapeutic use)**; **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of 1-benzenesulfonyl-1,3-dihydroindol-2-ones as vasopressin and/or oxytocin antagonists)

RN 161950-92-1 HCAPLUS

CN Spiro[cyclohexane-1,3'-[3H]indol]-2'(1'H)-one, 1'-[[4-[[(cyclopentylamino)carbonyl]amino]-2-methoxyphenyl]sulfonyl]-5'-ethoxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 27 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 1998:42378 HCAPLUS
DOCUMENT NUMBER: 128:88682
TITLE: Preparation of hydroxyphenylureas as interleukin-8 receptor antagonists
INVENTOR(S): Widdowson, Katherine L.
PATENT ASSIGNEE(S): Smithkline Beecham Corp., USA; Widdowson, Katherine L.
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9749680	A1	19971231	WO 1997-US10903	19970624

W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, GE, GH, HU, IL, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9734091	A1	19980114	AU 1997-34091	19970624
EP 912505	A1	19990506	EP 1997-930204	19970624
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
BR 9709952	A	19990810	BR 1997-9952	19970624
JP 2000514049	T2	20001024	JP 1998-503448	19970624
ZA 9705743	A	19971229	ZA 1997-5743	19970627
TW 408102	B	20001011	TW 1997-86109211	19970912
NO 9806110	A	19990223	NO 1998-6110	19981223
KR 2000022274	A	20000425	KR 1998-710694	19981226
US 6133319	A	20001017	US 1999-202569	19990819
PRIORITY APPLN. INFO.:			US 1996-20658P P	19960627
			US 1996-21973P P	19960627
			WO 1997-US10903 W	19970624

OTHER SOURCE(S): MARPAT 128:88682

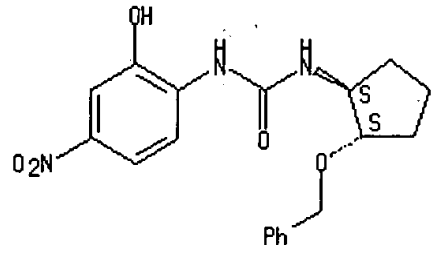
AB Title compds., e.g., RZNHC(:X)NHR1 [R = any functional moiety having an ionizable hydrogen and a pKa of ≤10 (sic); R1 = (un)substituted alk(en)yl or -alkynyl; Z = e.g., (un)substituted 1,2-phenylene] were prepd. Thus, 2-amino-5-nitrophenol was acylated by CH2:CHCH2NCO to give 2,4-(HO)(O2N)C6H3NHCONHCH2CH:CH2. Data for biol. activity of title compds. were given.

IT **201043-79-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of hydroxyphenylureas as interleukin-8 receptor antagonists)

RN 201043-79-0 HCAPLUS

CN Urea, N-(2-hydroxy-4-nitrophenyl)-N'-[2-(phenylmethoxy)cyclopentyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L6 ANSWER 28 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

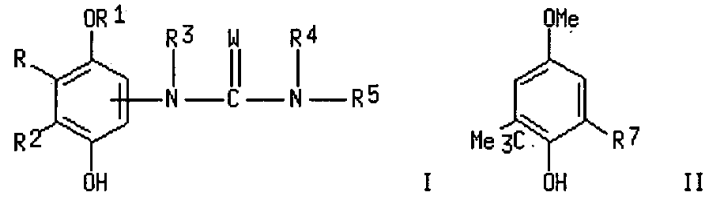
ACCESSION NUMBER: 1997:589063 HCAPLUS
DOCUMENT NUMBER: 127:234183
TITLE: Ureidophenols as ACAT inhibitors and antioxidants
INVENTOR(S): Suzuki, Toshikazu; Ohmizu, Hiroshi; Hashimura, Yoshimasa; Kubota, Hitoshi; Tanaka, Keiko
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 84 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 790240	A1	19970820	EP 1997-102315	19970213
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CA 2197364	AA	19970816	CA 1997-2197364	19970212
JP 10195037	A2	19980728	JP 1997-28582	19970213
US 5849732	A	19981215	US 1997-800680	19970214
CN 1165815	A	19971126	CN 1997-101973	19970217

PRIORITY APPLN. INFO.: JP 1996-28083 19960215
JP 1996-300032 19961112

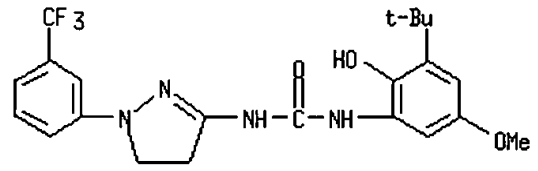
OTHER SOURCE(S): MARPAT 127:234183
GI



AB Ureidophenols I [R = H, alkyl, alkyloxy; R1 = alkyl; R2 = alkyl, alkoxy; R3 = H, alkyl, acyl; W = O, S or NR6; NR4R5 = (un)substituted NH2, N heterocycle; R6 = H, alkyl, aryl, OH, alkoxy] were prepd. I possess both an ACAT inhibitory activity and an antioxidative activity (no data). Thus, 4,2-MeO(Me3C)C6H3OH was treated with 4-MeOC6H4NH2 to give the azobenzene II [R7 = N:NC6H4OMe-4], which was O-protected, reduced to the amine, treated with PhNCO, and O-deprotected to give the ureidophenol II [R7 = NHCONHPh].

IT **195312-41-5P**
RL: SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of ureidophenols as ACAT inhibitors and antioxidants)

RN 195312-41-5 HCAPLUS
CN Urea, N-[4,5-dihydro-1-[3-(trifluoromethyl)phenyl]-1H-pyrazol-3-yl]-N'-[3-(1,1-dimethylethyl)-2-hydroxy-5-methoxyphenyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 29 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN

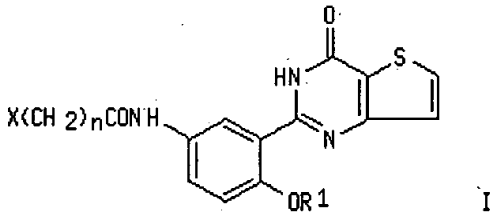
Full Text | Citing References

ACCESSION NUMBER: 1996:241537 HCAPLUS
DOCUMENT NUMBER: 124:289561
TITLE: Preparation of thienopyrimidinones as cyclic GMP phosphodiesterase inhibitors
INVENTOR(S): Oota, Tomoki; Kawashima, Yutaka; Hatayama, Katsuo

PATENT ASSIGNEE(S): Taisho Pharma Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 20 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07330777	A2	19951219	JP 1994-126555	19940608

PRIORITY APPLN. INFO.: JP 1994-126555 19940608
OTHER SOURCE(S): MARPAT 124:289561
GI

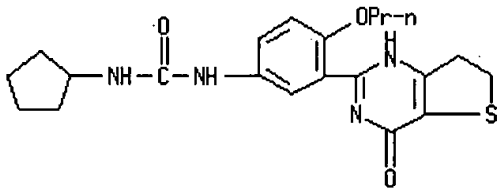


I

AB The title compds. I [R1 = alkyl; n = 0 or 1; X = halo, cycloalkyl, etc.] are prepd. I [X = morpholino; n = 0; R1 = ethyl] (prepn. given) at 28 μg/Kg decreased blood pressure in rats by 15 mmHg.

IT **175595-13-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of thienopyrimidinones as cyclic GMP phosphodiesterase inhibitors)

RN 175595-13-8 HCAPLUS
CN Urea, N-cyclopentyl-N'-[4-propoxy-3-(1,4,6,7-tetrahydro-4-oxothieno[3,2-d]pyrimidin-2-yl)phenyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 30 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN

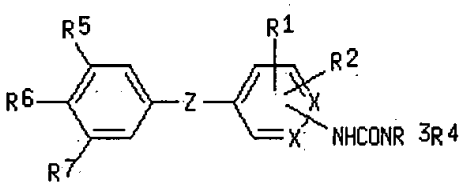
Full Text | Citing References

ACCESSION NUMBER: 1995:890151 HCAPLUS
DOCUMENT NUMBER: 123:285554
TITLE: Preparation of arylureas as cholesterol acyltransferase inhibitors
INVENTOR(S): Sueda, Noriyoshi; Yamada, Kazuhiko; Yanai, Makoto; Miura, Katsutoshi; Horigome, Masato; Oshida, Norio; Hiramoto, Shigeru; Katsuyama, Koichi; Nakata, Fumihisa; et al.
PATENT ASSIGNEE(S): Nisshin Flour Milling Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 49 pp.

CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 665216	A1	19950802	EP 1994-307398	19941010
EP 665216	B1	19971229		
R: DE, FR, GB, IT				
US 5576335	A	19961119	US 1994-314814	19940929
CA 2133394	AA	19950802	CA 1994-2133394	19940930
JP 07258199	A2	19951009	JP 1994-270205	19941011

PRIORITY APPLN. INFO.: JP 1994-27560 19940201
OTHER SOURCE(S): MARPAT 123:285554
GI



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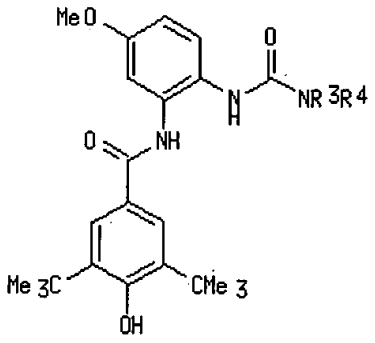
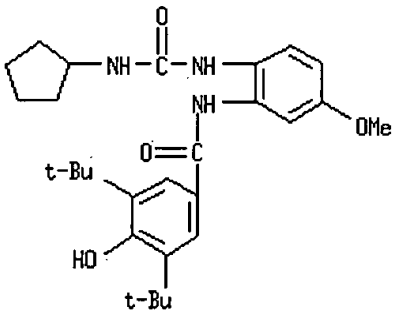


II

AB Title compds. [I; R1,R2 = H, halo, alkoxy; R3,R4 = H, (ar)alkyl, etc.; NR3R4 = heterocyclyl; R5,R7 = H, alkyl; R6 = OR8, N(R8)2; R6R7 = OCH2O, etc.; R8 = H, alkyl, CONHR3; X = N, CH; Z = CONR9, O2C, O(CH2)3, etc.; R9 = H, alkyl, alkanoyl, etc.] were prepd. Thus, 4-methoxy-2-nitroaniline was acylated by ClCO2Ph and the product amidated by cyclopentylamine to give, after hydrogenation and amidation by 3,5-di-tert-butyl-4-hydroxybenzoic acid, title compd. II (R3 = H, R4 = cyclopentyl). II (R3 = R4 = CH2Ph) gave 99% inhibition of cholesterol acyltransferase at 10-7M in vitro.

IT **169604-08-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arylureas as cholesterol acyltransferase inhibitors)

RN 169604-08-4 HCAPLUS
CN Benzamide, N-[2-[[(cyclopentylamino)carbonyl]amino]-5-methoxyphenyl]-3,5-bis(1,1-dimethylethyl)-4-hydroxy- (9CI) (CA INDEX NAME)



L6 ANSWER 31 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

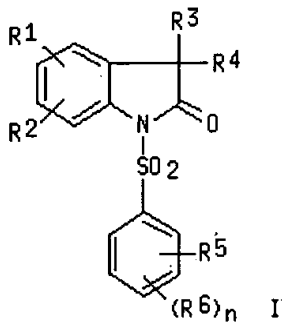
ACCESSION NUMBER: 1995:464454 HCAPLUS
DOCUMENT NUMBER: 122:213926
TITLE: 1-Benzenesulfonyl-1,3-dihydro-indol-2-one derivatives, their preparation, and pharmaceutical compositions containing them.
INVENTOR(S): Di, Malta Alain; Foulon, Loic; Garcia, Georges; Nisato, Dino; Roux, Richard; Serradeil-Legal, Claudine; Valette, Gerard; Wagnon, Jean
PATENT ASSIGNEE(S): Sanofi, Fr.
SOURCE: Eur. Pat. Appl., 55 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 636608	A1	19950201	EP 1994-401737	19940728
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
FR 2708605	A1	19950210	FR 1993-9404	19930730
IL 110482	A1	19990411	IL 1994-110482	19940728
CA 2129215	AA	19950131	CA 1994-2129215	19940729
FI 9403570	A	19950131	FI 1994-3570	19940729
NO 9402834	A	19950131	NO 1994-2834	19940729
AU 9468789	A1	19950209	AU 1994-68789	19940729
AU 684791	B2	19980108		
ZA 9405656	A	19950309	ZA 1994-5656	19940729
HU 70408	A2	19951030	HU 1994-2232	19940729
RU 2141476	C1	19991120	RU 1994-27576	19940729
CN 1107467	A	19950830	CN 1994-114900	19940730
JP 07247269	A2	19950926	JP 1994-199069	19940801
US 5849780	A	19981215	US 1994-323921	19941017
US 5686624	A	19971111	US 1995-473302	19950607
US 5726322	A	19980310	US 1997-824305	19970326

PRIORITY APPLN. INFO.:

FR 1993-9404	A	19930730
FR 1992-1034	A	19920130
US 1993-129310	B2	19930930
EP 1994-401737	A	19940728
US 1994-323921	A3	19941017
US 1995-473302	A3	19950607

OTHER SOURCE(S): CASREACT 122:213926; MARPAT 122:213926
GI



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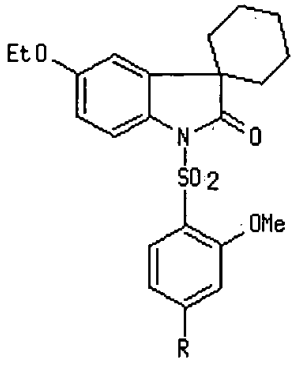


II

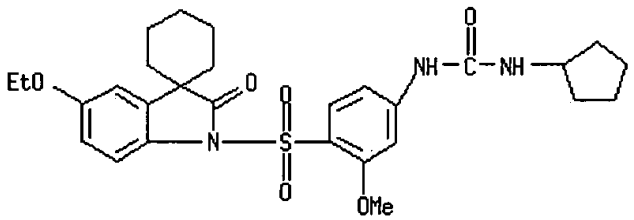
AB Title compds. I [R1, R2 = H, OH, halo, haloalkoxy, alkyl, CF3, alkoxy, etc.; R3, R4 = alkyl, cycloalkyl, Ph, PhCH2, hydroxyalkyl, etc.; or R3R4 = (CH2)pX(CH2)q; or R3R4 forms an (un)substituted (un)satd. hydrocarbon ring; R5, R6 = H, halo, alkyl, CF3, cyano, OH, NO2, (un)substituted NH2, CO2H, etc.; X = O, SOn, NH or derivs.; m = 1, or (when R6 = halo, alkyl, or alkoxy) also 2-4, or (for multiple but different R6) also > 1; n = 0-2; (p + q) = 3-6; numerous addnl. definitions and provisos] and their salts are claimed. Over 80 synthetic examples are given. Thus, 5-ethoxy-1,3-dihydro-3-spirocyclohexaneindol-2-one [prepn. given] was treated with NaH in THF and then N-sulfonylated with 2-methoxy-4-nitrobenzenesulfonyl chloride. Redn. of the nitro group in the product with Fe and HCl and cyclization of the resultant amine with cis-1,4-dichloro-2-butene gave a mixt. of title compds. II [R = 1-pyrrolidinyl and 1-(3-pyrrolinyl)], which were hydrogenated over Pd/C to give II (R = 1-pyrrolidinyl). In various assays, I bound to V1 and V2 vasopressin receptors with IC50 values down to 10-7 and 10-9 M, resp., and bound to oxytocin receptors with IC50 down to 10-8 M. The compds. also showed oral activity (no data).

IT 161950-92-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzenesulfonyldihydroindolone derivs. as vasopressin and/or oxytocin antagonists)

RN 161950-92-1 HCAPLUS

CN Spiro[cyclohexane-1,3'-[3H]indol]-2'(1'H)-one, 1'-[[4-[[(cyclopentylamino)carbonyl]amino]-2-methoxyphenyl]sulfonyl]-5'-ethoxy- (9CI) (CA INDEX NAME)



L6 ANSWER 32 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN

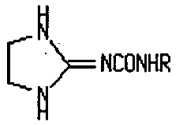
Full Text | Citing References

ACCESSION NUMBER: 1982:68993 HCAPLUS
DOCUMENT NUMBER: 96:68993
TITLE: N-(Substituted phenyl)-N'-(2-imidazolidinylidene)ureas
INVENTOR(S): Rasmussen, Chris R.

PATENT ASSIGNEE(S): McNeil Laboratories, Inc., USA
SOURCE: U.S., 7 pp. Cont.-in-part of U.S. 4,229,462.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

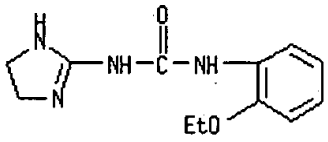
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4298746	A	19811103	US 1980-156900	19800606
US 4229462	A	19801021	US 1978-972579	19781222
ZA 7906965	A	19810729	ZA 1979-6965	19791221
GB 2113204	A1	19830803	GB 1982-21517	19820726
GB 2113204	B2	19840201		

PRIORITY APPLN. INFO.: US 1978-972579 19781222
US 1978-972580 19781222
GB 1979-44114 19791221
OTHER SOURCE(S): CASREACT 96:68993
GI



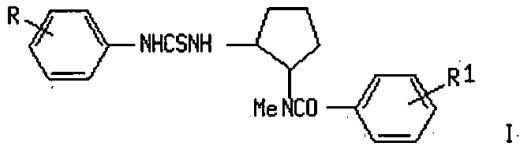
II

AB The reaction of 2-iminoimidazolidine (I) with RNCO (R = methyl-, chloro-, bromo-, or methoxyphenyl) yielded the resp. ureas II, which exhibited antihypertensive activity. I, 2,6-Cl2C6H3NCO, and Na2SO4 in THF-DMF was stirred overnight to give II (R = 2,6-Cl2C6H3).
IT 80625-17-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(antihypertensive activity of)
RN 80625-17-8 HCAPLUS
CN Urea, N-(4,5-dihydro-1H-imidazol-2-yl)-N'-(2-ethoxyphenyl)- (9CI) (CA INDEX NAME)



L6 ANSWER 33 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN
Full Text | Citing References
ACCESSION NUMBER: 1981:132015 HCAPLUS
DOCUMENT NUMBER: 94:132015
TITLE: Interrelationship between anticonvulsant and enzyme inhibitor properties of N-methyl-N-[2-(1-arylthiocarbamido)]cyclopentyl]nitrobenzamides
AUTHOR(S): Pandey, Ghagwan R.; Singh, Shiva P.; Brumleve, Stanley J.; Parmar, Surendra S.
CORPORATE SOURCE: Dep. Pharmacol. Therapeutics, Lucknow Univ., Lucknow, 226003, India

SOURCE: Pharmacological Research Communications (1981), 13(1), 65-74
CODEN: PLRCAT; ISSN: 0031-6989
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

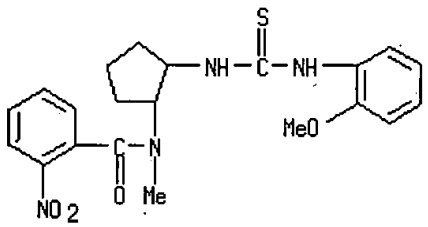


I

AB A series of N-methyl-N-[2-(1-arylthiocarbamido)cyclopentyl]nitrobenzamides I (R = H, 2-Me, 2-OMe etc; R' = 2- or 4-NO2) were synthesized and evaluated for their anticonvulsant activity and potentiation of pentobarbital-induced hypnosis. These compds. were also investigated for their ability to inhibit pyruvate oxidase [9001-96-1] and monoamine oxidase [9001-66-5]. No structural correlation between the central nervous system depressant and the enzyme inhibitory properties of these I was apparent.

IT **77051-85-5P**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(prepn. and pharmacol. of, structure in relation to)

RN 77051-85-5 HCAPLUS
CN Benzamide, N-[2-[[[(2-methoxyphenyl)amino]thioxomethyl]amino]cyclopentyl]-N-methyl-2-nitro- (9CI) (CA INDEX NAME)

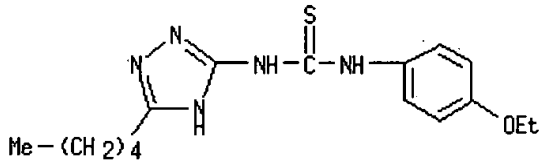


L6 ANSWER 34 OF 34 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 1969:103962 HCAPLUS
DOCUMENT NUMBER: 70:103962
TITLE: Synthesis and study of thiocarbamide derivatives. IV. Correlation between structure and tuberculostatic activity of 1H-1,2,4-triazole, 1,3-indandione, and 4-methoxybenzaldehyde thiourea derivatives
AUTHOR(S): Grinsteins, V.; Medne, K.; Sausins, A.; Cipens, G.; Bokalders, R.
CORPORATE SOURCE: Inst. Org. Sin., Riga, USSR
SOURCE: Latvijas PSR Zinatnu Akademijas Vestis, Kimijas Serija (1968), (6), 691-8
CODEN: LZAKAM; ISSN: 0002-3248

DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB The tuberculostatic activity of some thioureas was detd. in vitro, using the drug-sensitive Mycobacterium tuberculosis strains H37Rv and Ravenel and also the resistant strains Vallee and D. The following I were tested (R and R' given): Ph, H; Me, H; H, OEt (II); Me, OEt (III); Pr, OEt (IV); Me(CH2)4, OEt (V); Me, OPr (VI); Me, O(CH2)4Me (VII). VIII and 5-thiocarbamoyl-1H-1,2,4-triazole were similarly tested. Only IIVII had high antitubercular activity. The following IX were also tested (R and R' given): H, H; H, OMe; H, o-OMe; H, OEt (X); H, Me; H, Br; H, I; OMe, H; OMe, OMe; OMe, o-OMe; OMe, OEt; OMe, Me; OMe, I; OMe, Br. IX had low antitubercular activity, except X. The following XI were also tested (R given): Ph; p-MeC6H4 (XII); p-EtOC6H4; p-Pr-OC6H4; p-iso-PrOC6H4; p-BuOC6H4; p-iso-BuOC6H4; p-Me-(CH2)4OC6H4; p-BrC6H4; p-IC6H4; 2-C10H7. Also tested was the ortho analog (XIII) of XII. XII and XIII had some activity. XIV (R = MeO, Br, or I) were practically inactive. p-[2,5-MeO(HCO)C6H3NHCSNH]C6H4R (R = H, MeO, o-EtO, PrO, BuO, Me(CH2)4O, or Br) and 4,3-MeO(p-BuOC6H4NHCSNH)-C6H3CH:NNHC(NH2):NH.HCl were studied. In this class also, alkoxy-contg. compds. were most active. Addn. of blood serum to the nutrient medium of the microorganisms reduced the activity of the most effective compds. to 1/30-1/2.
IT **21731-95-3**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(tuberculostatic activity of)
RN 21731-95-3 HCAPLUS
CN Urea, 1-(p-ethoxyphenyl)-3-(5-pentyl-s-triazol-3-yl)-2-thio- (8CI) (CA INDEX NAME)



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FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

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now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

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L5              10 S L4 AND CANC?
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=> s l7 and canc?
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L7   ANSWER 1 OF 14  CAOLD  COPYRIGHT 2004 ACS on STN
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Full Text

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AN   CA65:720g  CAOLD
TI   5-methyloxazoline, urea derivs. of
PA   Chemische Werke Albert
DT   Patent
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AU   Zimmermann, Rolf; Koch, K.; Englisch, A.
DT   Patent
     PATENT NO.     KIND          DATE
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PI   GB 1023386
IT   1750-38-5   1750-39-6   1963-13-9   2318-76-5   6449-68-9   31788-64-4

L7   ANSWER 2 OF 14  CAOLD  COPYRIGHT 2004 ACS on STN
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Full Text

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AN   CA65:204b  CAOLD
TI   color couplers
AU   Franchi, Luigi; Magagnoli, R.
DT   Patent
     PATENT NO.     KIND          DATE
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PI   FR 1403481
IT   2489-28-3   10555-22-3   10555-23-4   13014-99-8   30424-07-8
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L7 ANSWER 3 OF 14 CAOLD COPYRIGHT 2004 ACS on STN

Full Text

AN CA64:16037g CAOLD
TI 1-fluoroalkyl-2-pyrazolin-5-one color couplers
PA Gevaert-Agfa N. V.
DT Patent

PATENT NO.	KIND	DATE
NL 6509593		
BE 667370		
FR 1441166		

IT 1439-40-3 1439-63-0 1439-64-1 1908-58-3 5355-07-7 5355-08-8
5376-72-7 5376-74-9 5376-75-0 5376-76-1 5376-77-2 5376-78-3
5376-79-4 5376-80-7 5377-19-5 5528-93-8 5529-15-7 5529-17-9
5529-18-0 **5529-19-1** 5529-20-4 5529-21-5 5529-22-6 5529-23-7
5529-24-8 5529-25-9 5529-26-0 5529-27-1 6887-07-6 96764-55-5

L7 ANSWER 4 OF 14 CAOLD COPYRIGHT 2004 ACS on STN

Full Text

AN CA64:9862b CAOLD
TI mercaptan-forming couplers
AU Barr, Charles R.; Williams, J.; Whitmore, K. E.
PA Eastman Kodak Co.
DT Patent

PATENT NO.	KIND	DATE
US 3227554		1966

IT 5083-12-5 5083-13-6 5083-14-7 5083-15-8 5083-16-9 5083-17-0
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5083-24-9 5104-70-1 5104-71-2 5104-72-3 5104-73-4 5104-74-5
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106438-97-5 106439-05-8 108015-55-0 108015-56-1

L7 ANSWER 5 OF 14 CAOLD COPYRIGHT 2004 ACS on STN
AN CA64:5237c CAOLD
TI magenta photographic couplers
AU Bellone, Domenico; Chittolini, U.; Guzzi, A.
DT Patent
IT 614-16-4 1779-08-4 1788-10-9 2412-05-7 4581-46-8 4622-67-7
4640-64-6 4644-43-3 4644-44-4 4644-45-5 4644-46-6 4644-47-7
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4681-06-5 4692-10-8 **4772-97-8** 4779-36-6 4779-37-7

L7 ANSWER 6 OF 14 CAOLD COPYRIGHT 2004 ACS on STN

Full Text

AN CA64:3550f CAOLD
TI cyclohept[d]imidazoles
AU Sunagawa, Genshun; Nakao, H.
PA Sankyo Co., Ltd.
DT Patent

PATENT NO.	KIND	DATE
JP 65020707		1965

IT **2048-43-3** 2048-44-4 2048-45-5 2048-46-6 2048-47-7 2048-48-8
2048-49-9 2132-29-8 2132-30-1 2409-67-8 2535-45-7 2536-06-3
2536-07-4

L7 ANSWER 7 OF 14 CAOLD COPYRIGHT 2004 ACS on STN

Full Text

AN CA63:1790e CAOLD
TI 5-methyloxazolidine derivs.
PA Chemische Werke Albert
DT Patent

PATENT NO.	KIND	DATE
BE 643289		
FR M3206		

IT 1750-37-4 1750-38-5 **1963-13-9** 1969-12-6 2318-76-5 31788-64-4

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ANSWER 1 REGISTRY COPYRIGHT 2004 ACS on STN
RN 1963-13-9 REGISTRY
CN Urea, 1-(o-ethoxyphenyl)-3-(5-methyl-2-oxazolin-2-yl)- (7CI, 8CI) (CA INDEX NAME)
FS 3D CONCORD
MF C13 H17 N3 O3
LC STN Files: BEILSTEIN*, CA, CAOLD, CAPLUS
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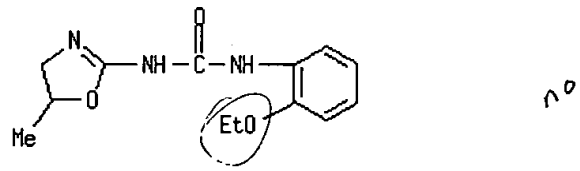

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2 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

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=> fil reg; d acc 30424-07-8; fil CAOLD

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RN 30424-07-8 REGISTRY
CN Urea, 1-[p-[(p-dodecylphenyl)sulfamoyl]phenyl]-3-(5-oxo-1-phenylpyrazolin-3-yl)- (8CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Urea, [p-[(p-dodecylphenyl)sulfamoyl]phenyl]-3-(5-oxo-1-phenylpyrazolin-3-yl)- (7CI)
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CI IDS
LC STN Files: CA, CAOLD, CAPLUS

CM 1

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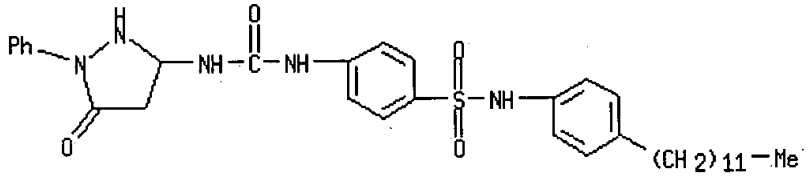

1 REFERENCES IN FILE CA (1907 TO DATE)
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1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

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=> fil reg; d acc 5529-19-1; fil CAOLD

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ANSWER 1 REGISTRY COPYRIGHT 2004 ACS on STN
RN 5529-19-1 REGISTRY
CN Urea, 1-[p-(hexadecylsulfonyl)phenyl]-3-[1-(2,2,3,3,4,4,5,5-octafluoropentyl)-5-oxo-2-pyrazolin-3-yl]- (7CI, 8CI) (CA INDEX NAME)
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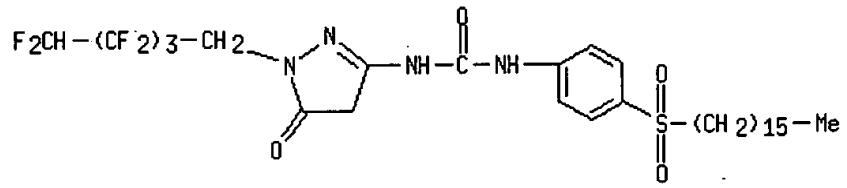
MF C31 H44 F8 N4 O4 S
LC STN Files: BEILSTEIN*, CA, CAOLD, CAPLUS
(*File contains numerically searchable property data)



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1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

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=> fil reg; d acc 5489-38-3; fil CAOLD

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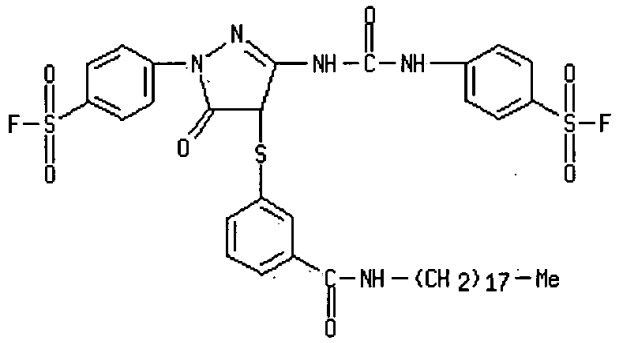
ANSWER 1 REGISTRY COPYRIGHT 2004 ACS on STN
RN 5489-38-3 REGISTRY
CN Sulfanilyl fluoride, N-[[1-[p-(fluorosulfonyl)phenyl]-4-[[m-(octadecylcarbamoyl)phenyl]thio]-5-oxo-2-pyrazolin-3-yl]carbamoyl]- (7CI, 8CI) (CA INDEX NAME)
FS 3D CONCORD
MF C41 H53 F2 N5 O7 S3
LC STN Files: BEILSTEIN*, CA, CAOLD, CAPLUS
(*File contains numerically searchable property data)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)
1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

FILE 'CAOLD' ENTERED AT 11:40:19 ON 09 MAR 2004

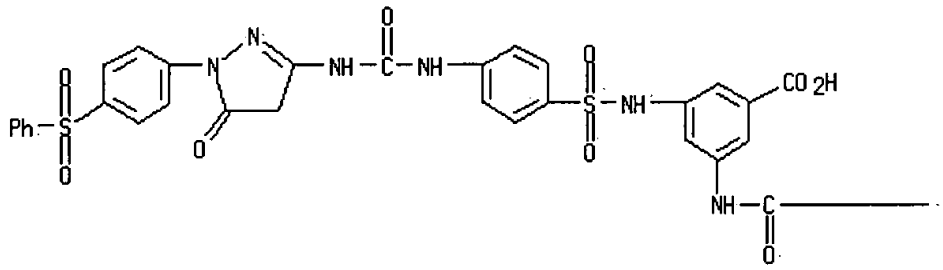
=> fil reg; d acc 4772-97-8; fil CAOLD

FILE 'REGISTRY' ENTERED AT 11:40:54 ON 09 MAR 2004

ANSWER 1 REGISTRY COPYRIGHT 2004 ACS on STN
RN 4772-97-8 REGISTRY
CN Benzoic acid, 3-[N4-[[5-oxo-1-[p-(phenylsulfonyl)phenyl]-2-pyrazolin-3-yl]carbamoyl]sulfanilamido]-5-stearamido- (7CI, 8CI) (CA INDEX NAME)

FS 3D CONCORD
MF C47 H58 N6 O9 S2
LC STN Files: CA, CAOLD, CAPLUS

PAGE 1-A



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—(CH 2)16—Me

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)
1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

FILE 'CAOLD' ENTERED AT 11:40:55 ON 09 MAR 2004

=> **fil reg; d acc 2048-43-3; fil CAOLD**

FILE 'REGISTRY' ENTERED AT 11:41:29 ON 09 MAR 2004

ANSWER 1 REGISTRY COPYRIGHT 2004 ACS on STN
RN 2048-43-3 REGISTRY
CN Sulfanilyl fluoride, N-[[1-[p-(hexadecylsulfonyl)phenyl]-5-oxo-2-pyrazolin-3-yl]carbamoyl]- (7CI, 8CI) (CA INDEX NAME)
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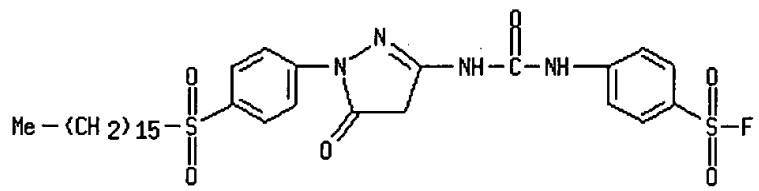
MF C32 H45 F N4 O6 S2
LC STN Files: BEILSTEIN*, CA, CAOLD, CAPLUS
(*File contains numerically searchable property data)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

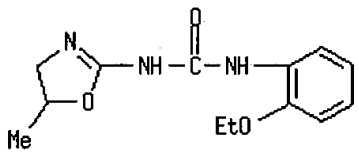
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)
2 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

FILE 'CAOLD' ENTERED AT 11:41:30 ON 09 MAR 2004

=> fil reg; d acc 1963-13-9; fil CAOLD

FILE 'REGISTRY' ENTERED AT 11:41:41 ON 09 MAR 2004

ANSWER 1 REGISTRY COPYRIGHT 2004 ACS on STN
RN 1963-13-9 REGISTRY
CN Urea, 1-(o-ethoxyphenyl)-3-(5-methyl-2-oxazolin-2-yl)- (7CI, 8CI) (CA INDEX NAME)
FS 3D CONCORD
MF C13 H17 N3 O3
LC STN Files: BEILSTEIN*, CA, CAOLD, CAPLUS
(*File contains numerically searchable property data)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)
2 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

FILE 'CAOLD' ENTERED AT 11:41:41 ON 09 MAR 2004

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.42	400.11

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-30.49

FILE 'REGISTRY' ENTERED AT 11:41:50 ON 09 MAR 2004

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

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STRUCTURE FILE UPDATES:     8 MAR 2004  HIGHEST RN 660388-34-1
DICTIONARY FILE UPDATES:    8 MAR 2004  HIGHEST RN 660388-34-1

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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L9       STRUCTURE UPLOADED

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L9 HAS NO ANSWERS
L9                STR
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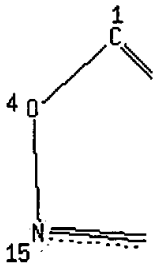

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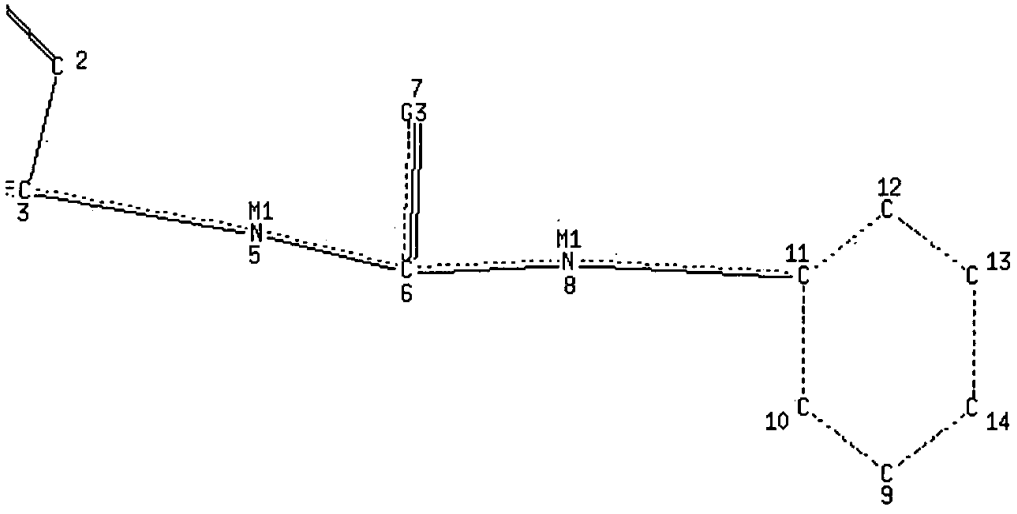


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Page 1-B
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HCOUNT   IS M1      AT   8
NSPEC    IS R       AT   1
NSPEC    IS R       AT   2
NSPEC    IS R       AT   3
NSPEC    IS R       AT   4
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NSPEC   IS C      AT   6
NSPEC   IS C      AT   7
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NSPEC   IS R      AT  10
NSPEC   IS R      AT  11
NSPEC   IS R      AT  12
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NSPEC   IS R      AT  14
NSPEC   IS R      AT  15
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MLEVEL  IS CLASS  AT   5  6  8 16 17
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS  17

STEREO ATTRIBUTES: NONE


=> s l9
SAMPLE SEARCH INITIATED 11:44:57 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     50 TO ITERATE

100.0% PROCESSED      50 ITERATIONS                              22 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          576 TO     1424
PROJECTED ANSWERS:             159 TO      721

L10          22 SEA SSS SAM L9

=> s l9 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 11:45:04 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    845 TO ITERATE

100.0% PROCESSED     845 ITERATIONS                             360 ANSWERS
SEARCH TIME: 00.00.01

L11         360 SEA SSS FUL L9

=> file hcaplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   157.52     557.63

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                     0.00     -30.49

FILE 'HCAPLUS' ENTERED AT 11:45:10 ON 09 MAR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)
```

FILE COVERS 1907 - 9 Mar 2004 VOL 140 ISS 11
FILE LAST UPDATED: 8 Mar 2004 (20040308/ED)

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> s l11
L12 32 L11

=> d l12, ibib abs fhitstr, 1-32

L12 ANSWER 1 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2003:892762 HCAPLUS
DOCUMENT NUMBER: 139:395938
TITLE: Preparation of ureas as positive allosteric modulators of the nicotinic acetylcholine receptor
INVENTOR(S): Piotrowski, David W.; Rogers, Bruce N.; McWhorter, William W., Jr.; Walker, Daniel P.; Corbett, Jeffrey W.; Groppi, Vincent E., Jr.; Rudmann, Daniel G.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 159 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003093250	A2	20031113	WO 2003-US11493	20030428
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003236287	A1	20031225	US 2003-423062	20030425

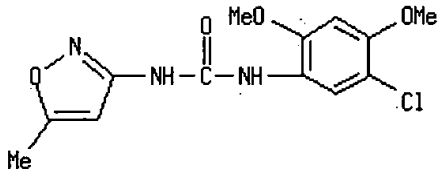
PRIORITY APPLN. INFO.: US 2002-377364P P 20020503
US 2003-456941P P 20030324
OTHER SOURCE(S): MARPAT 139:395938

AB ANHCXNHB [X = O, S; A = (un)substituted Ph, 6-membered N heteroaryl; B = (un)substituted 5-6-membered heteroaryl] were prepd. to treat diseases or conditions in which the α7 nAChR is known to be involved (no data). Thus, 2,4-Me(MeO)C6H3NH2 was treated with 3-F3CC6H4CNO to give 2,4-Me(MeO)C6H3NHCONHC6H4CF3-3.

IT **501925-31-1P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of ureas as pos. allosteric modulators of the nicotinic acetylcholine receptor)

RN 501925-31-1 HCAPLUS

CN Urea, N-(5-chloro-2,4-dimethoxyphenyl)-N'-(5-methyl-3-isoxazolyl)- (9CI) (CA INDEX NAME)



L12 ANSWER 2 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2003:875100 HCAPLUS
DOCUMENT NUMBER: 139:364920
TITLE: Preparation of isoxazolylphenylhexafluoropropanols and related compounds as liver X receptor (LXR) modulators
INVENTOR(S): Arrhenius, Thomas; Cheng, Jie-Fei; Nadzan, Alex M.
PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003090732	A1	20031106	WO 2003-US12391	20030421

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-374650P P 20020423
OTHER SOURCE(S): MARPAT 139:364920
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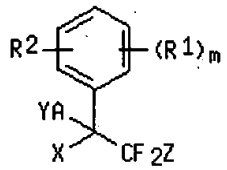


I

AB A method for modulating LXR receptors comprises administration of title compds. [I; R1 = halo, haloalkyl, OH, (substituted) thiol, sulfonyl, sulfinyl, nitro, cyano, amino, amino, alkyl, alkoxy, and when R1 = OH, alkoxy, thiol, substituted thiol, amino, alkyl; R1R2 = atoms to form a ring of 5-7 members when R1 is ortho to R2; R2 = NR3C(S)NR4R5, NR3C(:NR3)NR4R5, NR3C(:NCN)NR4R5, NR3C(:CHNO2)NR4R5, NR3P(O)R4R5, NR3P(O)(OR4)(OR5), NR3P(O)(OR4)(NR5), NR3P(O)(NR4)(NR5), NR3C(:NR3)R6, COR6, R6C(OH)R7, CR8:NOR4, CR8:NR3, CR8:NNR4R5, SOR7, SO2R7, P(O)(OR4)(OR5), P(O)(R4)(R5), P(O)(OR4)(OR5), P(O)(NR3)(OR4), P(O)(NR4)(NR5), (substituted) 3-7 membered ring contg. 0-3 O, N, S; R3-R5 = H, alkyl, aryl, heterocyclyl, acyl; R6, R7 = H, alkyl, aryl, heterocyclyl; R8 = H, alkyl, aryl, heterocyclyl, (substituted) amino; A = O, S, NR3; m = 0-4; X = H, CF2Z, CF3; XY = double bond when A = O; Y = H; Z = F, Br, Cl, iodo, CF3]. Thus, 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoropropan-2-ol, 4-morpholinecarbonyl chloride, and DMAP were heated in pyridine at 90° for 2 h to give 42% urea intermediate. The latter was stirred with NaH and 5-bromovaleronitrile in DMF at 90° for 2 h to give 25% alkylated intermediate, which was heated with Lawesson's reagent in PhMe at 120° for 6 h to give 68% N-(4-cyanobutyl)-N-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]morpholine-4-carbothioamide. Tested I at 10 μM induced ABCA1 gene expression in THP-1 cells by 1.71-3.73 times vs. DMSO controls.

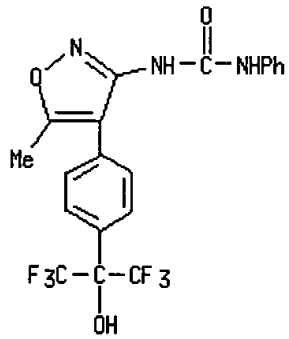
IT **449803-52-5P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of isoxazolylphenylhexafluoropropanols and related compds. as LXR modulators)

RN 449803-52-5 HCAPLUS

CN Urea, N-[5-methyl-4-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-3-isoxazolyl]-N'-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN

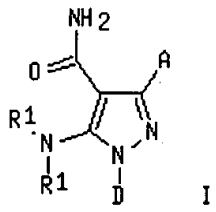
Full Text | Citing References

ACCESSION NUMBER: 2003:696864 HCAPLUS
DOCUMENT NUMBER: 139:246025
TITLE: Preparation of pyrazolecarboxamides for treating diseases associated with inappropriate angiogenesis
INVENTOR(S): Adams, Jerry Leroy; Kasparec, Jiri; Silva, Domingos
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003072541	A2	20030904	WO 2002-US30542	20020925

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-325397P P 20010927
OTHER SOURCE(S): MARPAT 139:246025
GI



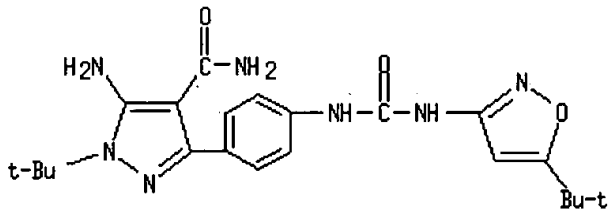
I

AB The title compds. [I; A = alkyl, alkenyl, cycloalkyl, aryl, etc.; D = H, alkyl, aryl, etc.; R1 = H, alkyl, aryl, etc.], useful in treating a disorder mediated by at least one of inappropriate TIE-2 kinase, VEGFR-2 kinase, and VEGFR-3 kinase activity (such as cancer), were prepd. Thus, reacting 5-amino-3-(4-aminophenyl)-1-tert-butyl-3-1H-pyrazole-4-carboxamide (prepn. given) with 2-fluoro-5-trifluoromethylphenyl isocyanate in CHCl3 afforded 5-amino-1-tert-butyl-3-{4-[3-(2-fluoro-5-trifluoromethylphenyl)ureido]phenyl}-1H-pyrazole-4-carboxamide which showed pIC50 of > 7.0 in TIE2-FP assay and pIC50 of > 7.0 in VEGF-C assay. Pharmaceutical compn. comprising the compd. I was claimed.

IT **594983-73-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrazolecarboxamides for treating diseases assocd. with inappropriate angiogenesis)

RN 594983-73-0 HCAPLUS
CN 1H-Pyrazole-4-carboxamide, 5-amino-1-(1,1-dimethylethyl)-3-[4-[[[[5-(1,1-

dimethylethyl)-3-isoxazolyl]amino]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 4 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN

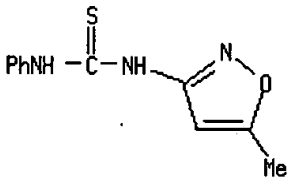
Full Text | Citing References

ACCESSION NUMBER: 2003:571600 HCAPLUS
DOCUMENT NUMBER: 140:59591
TITLE: Synthesis and biological activity of 3-aryl-1-(5-methyl-4-acetyl-3-isoxazolyl)-2-thioxo-(1H,3H,5H)-pyrimidine-4,6-diones
AUTHOR(S): Swamy, S. Narasimha; Murthy, A. Krishna; Rajanarendar, E.
CORPORATE SOURCE: Department of Chemistry, Kakatiya University, Warangal, 506 009, India
SOURCE: Indian Journal of Heterocyclic Chemistry (2003), 12(4), 357-360
CODEN: IJCHEI; ISSN: 0971-1627
PUBLISHER: Prof. R. S. Varma
DOCUMENT TYPE: Journal
LANGUAGE: English

AB 3-Aryl-1-(5-methyl-4-acetyl-3-isoxazolyl)-2-thioxo-(1H,3H,5H)-pyrimidine-4,6-diones were prepd. by the interaction of 3-aryl-1-(5-methyl-3-isoxazolyl)thioureas with malonic acid in acetyl chloride. The 3-isoxazolylthiourea compds. were made by the reaction of 3-amino-5-methylisoxazole with aryl-isothiocyanates. Antibacterial and antifungal activity of 3-isoxazolylthiourea and 3-isoxazolyl-2-thioxo-(1H,3H,5H)-pyrimidine-4,6-diones compds. were studied.

IT **64821-97-2P**
RL: BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(antibacterial and antifungal activities; prepn. and biol. activity of 3-aryl-1-(5-methyl-3-isoxazolyl)thioureas and 3-aryl-1-(5-methyl-4-acetyl-3-isoxazolyl)-2-thioxo-(1H,3H,5H)-pyrimidine-4,6-diones)

RN 64821-97-2 HCAPLUS
CN Thiourea, N-(5-methyl-3-isoxazolyl)-N'-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 5 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

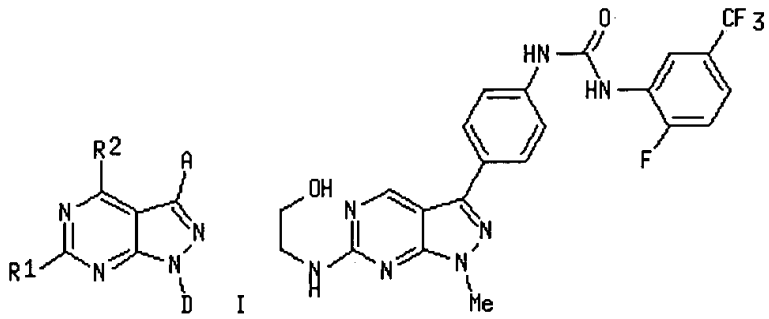
ACCESSION NUMBER: 2003:282531 HCAPLUS
DOCUMENT NUMBER: 138:321286
TITLE: Preparation of pyrazolo[3,4-d]pyrimidine derivatives for treating diseases associated with inappropriate angiogenesis
INVENTOR(S): Adams, Jerry Leroy; Kasparec, Jiri; Silva, Domingos; Yuan, Catherine C. K.
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 108 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003029209	A2	20030410	WO 2002-US31293	20021001

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

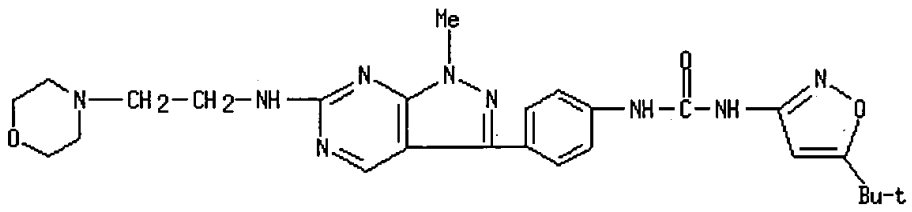
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-326506P P 20011002
OTHER SOURCE(S): MARPAT 138:321286
GI



AB The title compds. [I; A = (hetero)aryl substituted with at least one NHCOR8, NHSO2R9 or NHCSR8; D = H, alkyl, aryl, etc.; R1 = NR7R7, NR7(R10NR12R13); R2 = H, NR7R7, :NH; R7 = H, alkyl, aryl, etc.; R8 = NR12R13, NR7(R10NR12R13); R9 = (un)substituted (hetero)aryl; R10 = alkylene; R12 = H, alkyl, aryl, etc.; R13 = H, alkyl, aryl, etc.], useful for inhibiting TIE-2 kinase, VEGFR-2 kinase and/or VEGFR-3 kinase, were prepd. Thus, reacting 2-[3-(4-aminophenyl)-1-methyl-1H-pyrazolo[3,4-d]pyrimidin-6-ylamino]ethanol (prepn. given) with 2-fluoro-5-trifluoromethylphenyl isocyanate in N-methylpyrrolidine afforded II which showed IC50 of ≥ 100 to < 300 nM in TIE2-FP assay.

IT **508221-32-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrazolo[3,4-d]pyrimidine derivs. for treating diseases assocd. with inappropriate angiogenesis)
RN 508221-32-7 HCAPLUS
CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[4-[1-methyl-6-[[2-(4-morpholinyl)ethyl]amino]-1H-pyrazolo[3,4-d]pyrimidin-3-yl]phenyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 6 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN

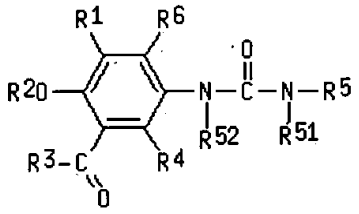
Full Text | Citing References

ACCESSION NUMBER: 2003:282524 HCAPLUS
DOCUMENT NUMBER: 138:304064
TITLE: Preparation of phenylurea derivatives as vanilloid receptor agonists
INVENTOR(S): Matsumoto, Takahiro; Yamamoto, Masataka; Nagabukuro, Hiroshi; Mochizuki, Manabu
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 293 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003029199	A1	20030410	WO 2002-JP9995	20020927
WO 2003029199	C2	20030925		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2001-300564 A 20010928
OTHER SOURCE(S): MARPAT 138:304064
GI



I

AB The title compds. I [R1, R4 and R6 are each independently hydrogen, halogeno, or hydrocarbyl; R2 is hydrocarbyl or a heterocyclic group; R3 is hydrocarbyl, etc.; R5 is hydrocarbyl or a heterocyclic group (except quinolyl) and R51 is hydrogen or hydrocarbyl, or R5 and R51 together with the nitrogen atom adjacent thereto may form a ring; and R52 is hydrogen or hydrocarbyl] are prepd. I are useful for the treatment of pain, urinary incontinence, etc. In a tail flick test using mice, one compd. of this invention showed a min. ED of 1 mg/kg.

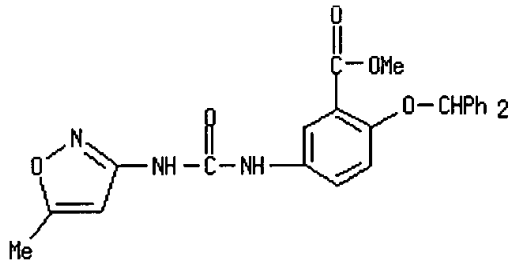
IT **508214-80-0P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of phenylurea derivs. as vanilloid receptor agonists)

RN 508214-80-0 HCAPLUS

CN Benzoic acid, 2-(diphenylmethoxy)-5-[[[(5-methyl-3-isoxazolyl)amino]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 7 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2003:221693 HCAPLUS
DOCUMENT NUMBER: 138:238197
TITLE: Preparation of furo- and thienopyrimidines as TIE-2 and/or VEGFR-2 kinase inhibitors useful against hyperproliferative diseases
INVENTOR(S): Adams, Jerry Leroy; Bryan, Deborah Lynne; Feng, Yanhong; Matsunaga, Shinichiro; Maeda, Yutaka; Miyazaki, Yasushi; Nakano, Masato; Rocher, Jean-Philippe; Sato, Hideyuki; Semones, Marcus; Silva, Domingos J.; Tang, Jun
PATENT ASSIGNEE(S): Glaxosmithkline K.K., Japan; Smithkline Beecham Corporation
SOURCE: PCT Int. Appl., 265 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003022852	A2	20030320	WO 2002-US28650	20020910
WO 2003022852	A3	20031127		

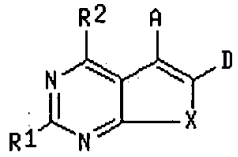
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-318766P P 20010911

OTHER SOURCE(S): MARPAT 138:238197

GI

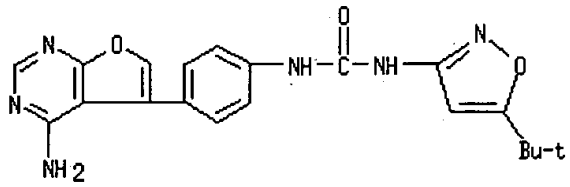


I

AB Furo- and thienopyrimidine derivs. (shown as I; variables defined below; e.g. 4-Amino-3-(4-methoxyphenyl)-2-[3-(methylsulfonylamino)phenyl]furo[2,3-d]pyrimidine), which are useful as TIE-2 (tyrosine kinase contg. immunoglobin and EGF homol. domains) and/or VEGFR-2 kinase inhibitors against hyperproliferative diseases are described herein. Enzyme inhibitions by ~60 examples of I are included as ranges; also, 4-amino-3-[4-[[2-fluoro-5-(trifluoromethyl)phenyl]aminocarbonylamino]phenyl]thieno[2,3-d]pyrimidine exhibited IC50 = 0.0018 μM in the TIE-2 fluorescence polarization kinase activity assay. For I: X is O or S; A is H, halo, C1-C6 alkyl, aryl, heteroaryl, aryl or heteroaryl substituted with ≥1 R3, heterocyclyl, -RR3, -C(O)OR4, -C(O)NR5R6, -C(O)R4; D is H, halo, C1-C6 alkyl, aryl, heteroaryl, aryl or heteroaryl substituted with ≥1 R3, heterocyclyl, -RR3, -C(O)OR4, -C(O)NR5R6, or -C(O)R4. R is C1-C6 alkylene, C3-C7 cycloalkylene, C1-C6 alkenylene, or C1-C6 alkynylene; R1 is H, C1-C6 alkyl, C1-C6 alkoxy, -SR4, -S(O)2R4, -NR7R7, -NR'N R'''R'''', -N(H)RR3, -C(O)OR7, or -C(O)NR7R7. R2 is H, -OH, -NR7R7 or :NH; R3 is halo, C1-C6 alkyl, C1-C6 haloalkyl, C1-C6 alkoxy, C3-C7 cycloalkoxy, C1-C6 haloalkoxy, aryl, aralkyl, aryloxy, heteroaryl, heterocyclyl, -CN, -NHC(O)R4, -N(R8)HC(O)R4, -NHC(S)R4, -NR5R6, -RNR5R6, -SR4, -S(O)2R4, -RC(O)OR4, -C(O)OR4, -C(O)R4, -C(O)NR5R6, -NHS(O)2R4, -N(S(O)2R4)S(O)2R4, -S(O)2NR5R6, or -NHC(:NH)R4. R4 is H, C1-C6 alkyl, aryl, heteroaryl, heterocyclyl, -RR3, -NR'''R'''', or - NR'NR'''R''''; R5 is H, C1-C6 alkyl, C3-C7 cycloalkyl, cyanoalkyl, -R'R'', aryl, aralkyl, heteroaryl, -NHC(O)OR''', -R'NHC(O)OR''', -R'NHC(O)NR'''R'''', or -R'C(O)OR'''. R6 is H, C1-C6 alkyl, C3-C7 cycloalkyl, cyanoalkyl, -R'R'', aryl, aralkyl, heteroaryl, -C(O)OR''', or -R'C(O)NR'''R'''; R7 is H, C1-C6 alkyl, aryl, or -C(O)OR'''; R8 is C1-C3 alkyl; R' is C1-C3 alkylene; R'' is heteroalkyl or NRR'''R''''; R''' is H, C1-C6 alkyl, aryl, aralkyl, heteroaryl, or C3-C7 cycloalkyl; R'''' is H, C1-C6 alkyl, aryl, heteroaryl, or C3-C7 cycloalkyl. Although the methods of prepn. are not claimed, several example prepns. of I are included and characterization data is given for ~480 examples of I.

IT **501696-44-2P**, 4-Amino-5-[4-[[[(5-tert-butylisoxazol-3-

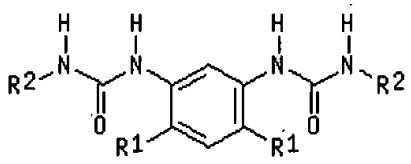
yl)amino]carbonyl]amino]phenyl]furo[2,3-d]pyrimidine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of furo- and thienopyrimidines as TIE-2 and/or VEGFR-2 kinase inhibitors useful against hyperproliferative diseases)
RN 501696-44-2 HCAPLUS
CN Urea, N-[4-(4-aminofuro[2,3-d]pyrimidin-5-yl)phenyl]-N'-[5-(1,1-dimethylethyl)-3-isoxazolyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 8 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2003:148393 HCAPLUS
DOCUMENT NUMBER: 138:304025
TITLE: Synthesis and Biological Evaluation of Non-Peptidic Cyclophilin Ligands
AUTHOR(S): Wu, Yong-Qian; Belyakov, Sergei; Choi, Chi; Limburg, David; Thomas, Bert E., IV; Vaal, Mark; Wei, Ling; Wilkinson, Douglas E.; Holmes, Agnes; Fuller, Mike; McCormick, Jocelyn; Connolly, Maureen; Moeller, Tim; Steiner, Joseph; Hamilton, Gregory S.
CORPORATE SOURCE: Department of Research, Guilford Pharmaceuticals Inc., Baltimore, MD, 21224, USA
SOURCE: Journal of Medicinal Chemistry (2003), 46(7), 1112-1115
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:304025
GI

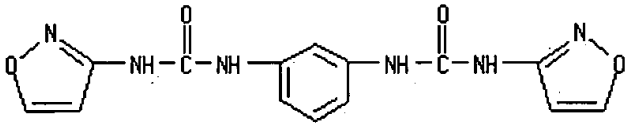


I

AB A series of sym. and unsym. bis(urea)s, e.g. I (R1 = H, Br; R2 = Bu, PhCH2, 4-Me2NC6H4, 3,5-Cl2C6H3, 2-pyridyl, 3-isoxazolyl, Ph2CHCH2, etc.), bis(thiourea)s, bis(amide)s, and (amido)ureas with 1,3-phenylene or 1,3-cyclohexylene core were prepd., and their biol. activity as non-peptidic cyclophilin ligands was studied. The introduction of large arom. substituents (e.g. R2 = Ph2CHCH2, 1-naphthyl) was favorable, and compds. having halogen atoms on the aryl rings showed increased potency. Bis(thiourea)s and (amido)ureas were found to be the most potent derivs., whereas bis(urea)s demonstrated the lowest biol activity.
IT 476630-35-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL

(Biological study); PREP (Preparation)
(prepn. of bis(urea)s, bis(thiourea)s, bis(amide)s, and (amido)ureas with 1,3-phenylene or 1,3-cyclohexylene cores as non-peptidic cyclophilin ligands)

RN 476630-35-0 HCAPLUS
CN Urea, N,N''-1,3-phenylenebis[N'-3-isoxazolyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 9 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2002:906096 HCAPLUS
DOCUMENT NUMBER: 138:4421
TITLE: Preparation of aryl amide/urea derivatives as non-peptidic cyclophilin binding compounds
INVENTOR(S): Steiner, Joseph P.; Hamilton, Gregory S.
PATENT ASSIGNEE(S): Guilford Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002094745	A2	20021128	WO 2002-US15359	20020516
WO 2002094745	A3	20031016		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003055009	A1	20030320	US 2001-860756	20010521
US 6593362	B2	20030715		

PRIORITY APPLN. INFO.: US 2001-860756 A 20010521
OTHER SOURCE(S): MARPAT 138:4421
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [n = 0-1; X, Y = N, NH, O, S, direct bond; R1-2, = alkyl, alkenyl, etc.;R3 = halo, OH, NO2, CF3, alkyl, alkenyl, alkoxy, alkenyloxy, phenoxy, benzyloxy, amino, etc.] were prepd. For instance, the bis acid

chloride of 3-carboxybenzoic acid was acylated with 3,5-dichloroaniline (CH2Cl2, Et3N) to afford II. II at 10 μM resulted in 100% inhibition of rotamase activity with an IC50 ≈ 0.97 μM. I are useful to stimulate hair growth.

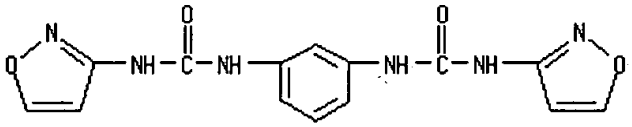
IT **476630-35-0P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of aryl amide/urea derivs. as non-peptidic cyclophilin binding compds.)

RN 476630-35-0 HCAPLUS

CN Urea, N,N''-1,3-phenylenebis[N'-3-isoxazolyl- (9CI) (CA INDEX NAME)



L12 ANSWER 10 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN

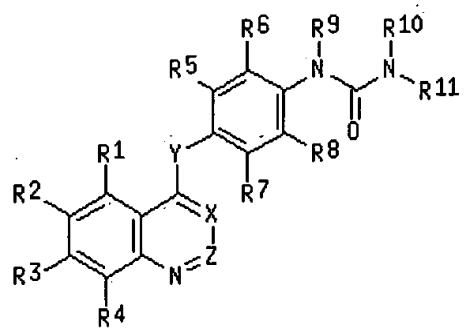
Full Text | Citing References

ACCESSION NUMBER: 2002:849617 HCAPLUS
DOCUMENT NUMBER: 137:370101
TITLE: Preparation of quinoline derivatives having azolyl group and quinazoline derivatives as antitumor agents
INVENTOR(S): Kubo, Kazuo; Sakai, Teruyuki; Nagao, Rika; Fujiwara, Yasunari; Isoe, Toshiyuki; Hasegawa, Kazumasa
PATENT ASSIGNEE(S): Kirin Beer Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088110	A1	20021107	WO 2002-JP4279	20020426
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2003012668	A2	20030115	JP 2002-126869	20020426
US 2003087907	A1	20030508	US 2002-132473	20020426
EP 1382604	A1	20040121	EP 2002-724651	20020426
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NO 2003004595	A	20031219	NO 2003-4595	20031014

PRIORITY APPLN. INFO.: JP 2001-132775 A 20010427
WO 2002-JP4279 W 20020426

OTHER SOURCE(S): MARPAT 137:370101
GI



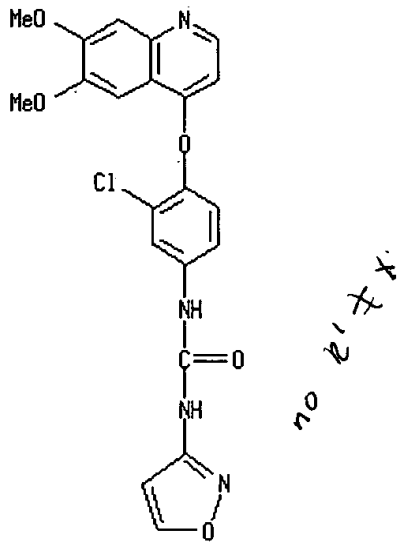
I

AB N-[(4-quinolinyl or 4-quinazolinyl)thio or -oxy]phenyl-N'-azolylurea derivs. represented by the formula (I) or pharmaceutically acceptable salts or solvates thereof [wherein X, Z = CH, N; Y = O, S; R1, R2, R3 = H, NO2, NH2, each (un)substituted C1-6 alkyl or alkoxy or C2-6 alkenyl or alkynyl; R4 = H; R5-R8 = H, halo, C1-4 alkyl, alkoxy, or alkylthio, CF3, NO2, NH2; R9, R10 = C1-6 alkyl, each (un)substituted C1-4 alkylcarbonyl or C1-6 alkyl; R11 = (un)substituted azolyl] are prepd. These compds. are useful for the treatment of tumor, diabetic retinopathy, chronic articular rheumatism, psoriasis, atherosclerosis , and Kaposi's sarcoma. They are also used for inhibiting neovascularization of a target blood vessel by contacting them with vascular endothelial cells of the target blood vessel. Thus, 100 mg 2-chloro-4-[(6,7-dimethoxy-4-quinazolinyl)oxy]aniline was dissolved in 5 mL CHCl3 and 0.5 mL Et3N, treated with a soln. of 100 mg triphosgene in CHCl3, and stirred at room temp. for 15 min, followed by adding 49 mg 2-aminothiazole, and the resulting mixt. was stirred at room temp. overnight to give 31 mg N-[2-chloro-4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N;-(1,3-thiazol-2-yl)urea (II). II at 20 mg/kg/day for 9 days inhibited the growth of human lung cancer transplanted in nude mice by 92.0%. The compds. I in vitro showed IC50 of 0.001-0.0697 μM for inhibiting the phosphorylation of the intracellular domain of human vascular endothelial cell growth factor (VEGF) receptor KDR (kinase insert domain-contg. receptor) in IH3T3 cell expressing human KDR.

IT **475108-15-7P**, N-[3-Chloro-4-[(6,7-dimethoxy-4-quinolyl)oxy]phenyl]-N'-(3-isoxazolyl)urea
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-[(4-quinolinyl or 4-quinazolinyl)oxy]phenyl-N'-azolylurea derivs. as neovascularization inhibitors for treatment of tumor, diabetic retinopathy, chronic articular rheumatism, psoriasis, atherosclerosis, and Kaposi's sarcoma)

RN 475108-15-7 HCAPLUS

CN Urea, N-[3-chloro-4-[(6,7-dimethoxy-4-quinolinyl)oxy]phenyl]-N'-3-isoxazolyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 11 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

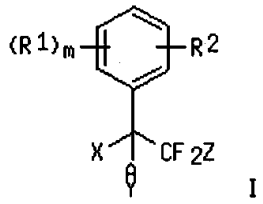
ACCESSION NUMBER: 2002:637520 HCAPLUS
DOCUMENT NUMBER: 137:185491
TITLE: Preparation of (heterocyclyl) hydroxyhexafluoroalkylarenes as malonyl-CoA decarboxylase inhibitors useful as metabolic modulators
INVENTOR(S): Arrhenius, Thomas; Chen, Mi; Cheng, Jie Fei; Huang, Yujin; Nadzan, Alex; Tith, Sovouthy; Wallace, David; Liu, Bin; Nishimoto, Masahiro
PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan; Chugai Pharmaceutical Co., Ltd.
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002064136	A2	20020822	WO 2002-US2179	20020122
WO 2002064136	A3	20031030		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1377290	A2	20040107	EP 2002-707566	20020122
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: US 2001-265380P P 20010126
WO 2002-US2179 W 20020122

OTHER SOURCE(S): MARPAT 137:185491

GI



I

AB Pharmaceutical compns. useful for inhibiting malonyl-CoA decarboxylase comprise title compds. [I; R1 = halo, haloalkyl, OH, (substituted) thiol, sulfonyl, sulfinyl, NO2, cyano, (substituted) amino, alkyl, alkoxy; R1R2 = atoms to form a 5-7 membered ring; R2 = NR3C(S)NR4R5, NR3C(:NR3)NR4R5, NR3C(:NCN)NR4R5, NR3C(:CHNO2)NR4R5, NR3P(O)R4R5, NR3P(O)(OR4)(OR5), NR3P(O)(OR4)(NR5), NR3P(O)(NR4)(NR5), NR3C(:NR3)R6, COR6, R6C(OH)R7, CR8:NOR4, CR8:NR3, CR8:NNR4R5, SOR7, SO2R7, P(O)(OR4)(OR5), P(O)(R4)(R5), P(O)(NR3)(OR4), P(O)(NR4)(NR5), (substituted) 3-7 membered ring contg. 0-3 O, N, S; R3 = H, alkyl, aryl, heterocyclyl, acyl; or R3 may form a ring of 5-7 members with R4 or R5; R4 = H, alkyl, aryl, heterocyclyl, acyl; R5 = H, alkyl, aryl, or heterocyclyl, acyl; R6, R7 = H , alkyl, aryl, heterocyclyl; R8 = H, alkyl, aryl, heterocyclyl, (substituted) amino; A = O, S, NR3; m = 0-4; X = H, CF2Z, CF3; XY = double bond when A = O; Y = H; Z = F, Br, Cl, iodo, CF3; with specific exceptions]. Thus, 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoropropan-2-ol, 4-morpholinecarbonyl chloride, DMAP were heated in pyridine at 90° for 2 h to give 42% urea intermediate. The urea was alkylated with NaH/5-bromovaleronitrile in DMF to give 25% alkylated product, which was heated with Lawesson's reagent in PhMe at 120° to give 68% morpholine-4-carbothioic acid [4-(2,2,2-trifluoro-1-hydroxy-1-trifluoromethylethyl)phenyl](4-trifluoromethylbenzyl)amide. This inhibited MCD with IC50 = 0.042 μM.

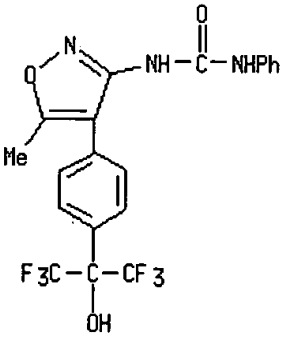
IT **449803-52-5P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compd.; prepn. of (heterocyclyl) hydroxyhexafluoroalkylarenes as malonyl-CoA decarboxylase inhibitors useful as metabolic modulators)

RN 449803-52-5 HCAPLUS

CN Urea, N-[5-methyl-4-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-3-isoxazolyl]-N'-phenyl- (9CI) (CA INDEX NAME)



L12 ANSWER 12 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN

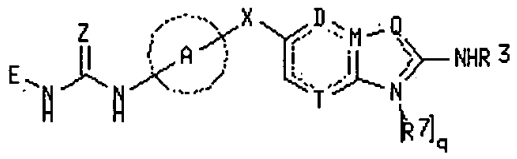
Full Text | Citing References

ACCESSION NUMBER: 2002:428885 HCAPLUS
DOCUMENT NUMBER: 137:6179
TITLE: Preparation of benzimidazoles as TIE-2 and/or VEGFR2 inhibitors
INVENTOR(S): Cheung, Mui; Harris, Philip Anthony; Hasegawa, Masaichi; Ida, Satoru; Kano, Kazuya; Nishigaki, Naohiko; Sato, Hideyuki; Veal, James Martin; Washio, Yoshiaki; West, Rob I.
PATENT ASSIGNEE(S): Glaxo Group Limited, UK; Glaxosmithkline K.K.
SOURCE: PCT Int. Appl., 217 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002044156	A2	20020606	WO 2001-US44553	20011128
WO 2002044156	A3	20021017		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002032439	A5	20020611	AU 2002-32439	20011128
EP 1341771	A2	20030910	EP 2001-991963	20011128
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.:
US 2000-253868P P 20001129
US 2001-310939P P 20010808
WO 2001-US44553 W 20011128

OTHER SOURCE(S): MARPAT 137:6179
GI



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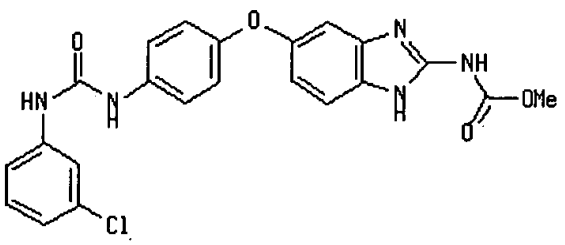


II

AB The title compds. [I; E = (un)substituted aryl, heteroaryl; A = aryl, heteroaryl, heterocyclyl; X = S, O, SO2, SO, CH2, CHOH, CO; Z = O, S; p = 0-1; q = 0-1; D = CH, T = CR8, M = C and Q = NT7p, wherein p = 0 and q = 1; or D = CH, T = CR8, M = C and Q = NR7p, wherein p =1 and q = 0, or D = CH, T = CR8, M = C and Q = S or O, wherein q = 0; or D = N, T = CR8, M = C and Q = NR7p, wherein either p or q = 0 and the other = 1; or D = CH, T = N, M = C and Q = NR7p, wherein either p or q = 0 and the other = 1; or D = CH, T = CR8, M = N and Q = CH, wherein q = 0; R1 = alkyl, haloalkyl, aryl, etc.; R2 = H, alkyl, aryl, etc.; R3 = alkylene or alkylene substituted by oxo, and is linked together with N atom to which it is attached and to one of the benzimidazole N atoms to form a heterocyclic compd. fused to the benzimidazole; R7 = H, alkyl, etc.; R8 = H, halo] and their salts, useful in the treatment of hyperproliferative diseases, were prepd. Thus, reacting Me [5-(4-aminophenoxy)-1H-benzimidazol-2-yl]carbamate (prepn. given) with 3-chlorophenyl isocyanate in THF afforded 69% II which showed pIC50 of > 7.0 in TIE-2 and VEGFR2 enzyme assays.

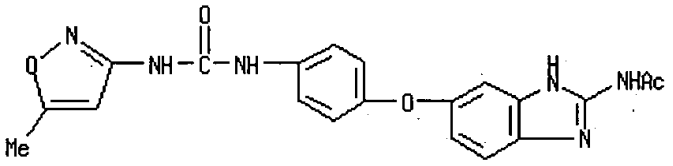
IT **433225-41-3P**

RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)

(prepn. of benzimidazoles as TIE-2 and/or VEGFR2 inhibitors)

RN 433225-41-3 HCAPLUS

CN Acetamide, N-[5-[4-[[[(5-methyl-3-isoxazolyl)amino]carbonyl]amino]phenoxy]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



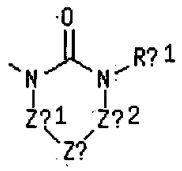
L12 ANSWER 13 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2002:314913 HCAPLUS
DOCUMENT NUMBER: 136:340689
TITLE: Preparation of urea derivatives containing nitrogenous aromatic ring compounds as inhibitors of angiogenesis
INVENTOR(S): Funahashi, Yasuhiro; Tsuruoka, Akihiko; Matsukura, Masayuki; Haneda, Toru; Fukuda, Yoshio; Kamata, Junichi; Takahashi, Keiko; Matsushima, Tomohiro; Miyazaki, Kazuki; Nomoto, Kenichi; Watanabe, Tatsuo; Obaishi, Hiroshi; Yamaguchi, Atsumi; Suzuki, Sachi; Nakamura, Katsuji; Mimura, Fusayo; Yamamoto, Yuji; Matsui, Junji; Matsui, Kenji; Yoshiba, Takako; Suzuki, Yasuyuki; Arimoto, Itaru
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 699 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002032872	A1	20020425	WO 2001-JP9221	20011019

WO 2002032872 C1 20020926
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
AU 2001095986 A5 20020429 AU 2001-95986 20011019
NO 2003001731 A 20030619 NO 2003-1731 20030414
PRIORITY APPLN. INFO.: JP 2000-320420 A 20001020
JP 2000-386195 A 20001220
JP 2001-46685 A 20010222
WO 2001-JP9221 W 20011019
OTHER SOURCE(S): MARPAT 136:340689
GI

Q= 

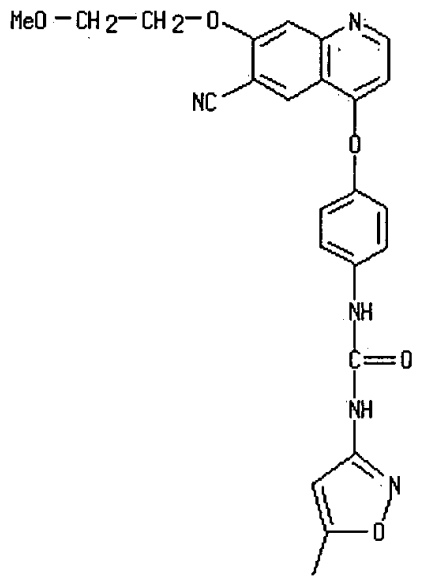
AB N-aryl or N-heteroarylurea derivs. represented by the general formula Ag-Xg-Yg-Tg1 or salts thereof, or hydrates of both [wherein Ag = (un)substituted C6-14 aryl or 5- to 14-membered heterocyclic group; Xg = single bond, O, S, C1-6 alkylene, SO, SO2, (un)substituted NH; Yg = (un)substituted C6-14 aryl, 5- to 14-membered heterocyclic group, C1-8 alkyl, C3-8 alicyclic hydrocarbyl, C6-14 aryl-C1-6 alkyl, 5- to 14-membered heteroaryl-C1-6 alkyl, (CH2)gSO2 (g = 1-8), (CH2)faCH:CH(CH2)fb (fa, fb = 0, 1,2,3), etc.; and Tg1 = a group of the general formula -Eg-CO-NRg1(Zg) or Q; wherein Eg = a single bond, (un)substituted NH; Rg1 = H, (un)substituted C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C3-8 aliph. hydrocarbyl, etc.; Zg = C1-8 alkyl, C3-8 alicyclic hydrocarbyl, C6-14 aryl, etc.; Zg1, Zg2 = (a) a single bond, (b) C1-6 alkylene optionally having ≥1 atoms selected from O, S, and N in the middle or the terminus of the chain and optionally substituted with oxo, (c) (un)substituted C2-6 alkenyl] are prepd. These compds. are also inhibitors of vascular endothelial growth factor receptor kinase (VEGFR2 kinase) and are useful as antitumor agents against hemangioma, pancreatic cancer, stomach cancer, colon cancer, breast cancer, prostate cancer, lung cancer, brain tumor, leukemia, or ovarian cancer, as cancer metastasis inhibitors, and for the treatment of retina neovascularization, diabetic retinopathy, atherosclerosis, or inflammatory diseases such as osteoarthritis, rheumatoid arthritis, psoriasis, or delayed hypersensitivity. Thus, to soln. of 334 mg 4-[6-(4-benzyloxyphenyl)-7-(2-trimethylsilylethoxymethyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yloxy]-2-chlorophenylamine in 4 mL DMF were added 0.066 mL pyridine and 0.102 mL Ph chlorocarbonate and stirred at room temp. for 2.5 h to give 330 mg N-[4-[6-(4-benzyloxyphenyl)-7-(2-trimethylsilylethoxymethyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yloxy]-2-chlorophenyl]-N'-cyclopropylurea which (260 mg) was hydrogenolyzed over platinum oxide in ethanol overnight to give 160 mg N-[4-[6-(4-hydroxyphenyl)-7-(2-trimethylsilylethoxymethyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yloxy]-2-chlorophenyl]-N'-cyclopropylurea (I).

I showed IC50 of 0.02 nM for inhibiting the vascular endothelial growth factor (VEGF)-stimulated sandwich tube formation in vascular endothelial cell.

IT **417714-38-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of urea derivs. contg. nitrogenous arom. ring compds. as angiogenesis inhibitors for prevention or treatment of diseases)

RN 417714-38-6 HCAPLUS
CN Urea, N-[4-[[6-cyano-7-(2-methoxyethoxy)-4-quinolinyl]oxy]phenyl]-N'-(5-methyl-3-isoxazolyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 14 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2002:107924 HCAPLUS
DOCUMENT NUMBER: 136:167692
TITLE: Preparation of new biphenyl and biphenyl-analogous compounds as integrin antagonists
INVENTOR(S): Albers, Markus; Urbahns, Klaus; Vaupel, Andrea; Harter, Michael; Schmidt, Delf; Stelte-Ludwig, Beatrix; Gerdes, Christoph; Stahl, Elke; Keldenich, Jorg; Brueggemeier, Ulf; Lustig, Klemens
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany
SOURCE: U.S. Pat. Appl. Publ., 256 pp., Division of U.S. Ser. No. 464,237.
CODEN: USXXCO
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002016461	A1	20020207	US 2001-828514	20010406
US 6677360	B2	20040113		
US 6420396	B1	20020716	US 1999-464237	19991215
US 2004030132	A1	20040212	US 2002-285073	20021031

PRIORITY APPLN. INFO.:

US 1998-172225P	P	19981216
US 1999-464237	A3	19991215
US 1999-172217P	P	19991019
US 2001-828514	A3	20010406

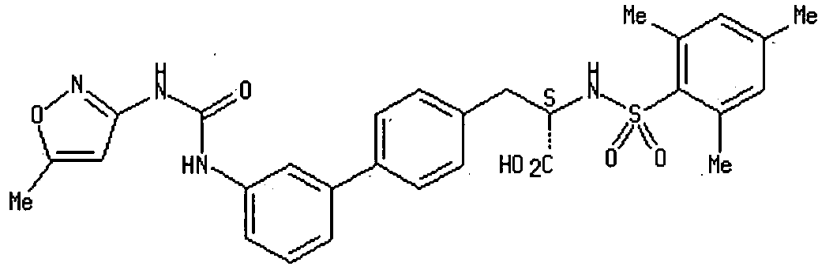
OTHER SOURCE(S): MARPAT 136:167692

AB Biphenylyl compds. R1O2CCHR2-U-V-A-B-W-NR3-C-R4 [R1 = H, (un)substituted alkyl, cycloalkyl, aryl, or (un)satd. heterocyclyl; R2 = H, (un)substituted alkyl, cycloalkyl, aryl, or (un)satd. heterocyclyl, alkenyl, alkynyl, -NR2'SO2R2'', -NR2'CO2R2', -NR2'COR2', -NR2'CONR2'2, -NR2'CSNR2'2 (R2' has same definition as R1 and R2'' has same definition as R1 except it is not H); U or W is a direct bond or (un)substituted alkylene; V = (un)substituted alkylene, -NR2'CO- or NR2'SO2-; A and B = (un)substituted 1,3- or 1,4-bridging phenylene group or a 2,4- or 2,5-bridging thienylene group, each of which may have substituents; C is a direct bond, CMe(:X-R5)-Y-N(R6)- (R5 is absent, H, (un)substituted alkyl or cycloalkyl, NO2, acyl, carboxylic or carboxylate group or is connected to R3, Y, R4 or R6, if present; R6 is H, (un)substituted alkyl, cycloalkyl, aryl, or (un)satd. heterocyclyl, an alkylamine or alkylamide residue, or is connected to one of R3, R4, Y, or R5, if present, to form a heterocyclic ring system; X = CHNO2, CHCN, O, N or S; Y is a direct bond or (un)substituted alkylene or alkyne group) or 3,4-dioxo-1,2-cyclobutenediyl-NR6-; R3, R4 = H, (un)substituted alkyl, cycloalkyl, aryl, or (un)satd. heterocyclyl, an alkylamine or alkylamide residue, or is connected to one of R4 (or R3), Y, R5 or R6, if present, to form a heterocyclic ring system] were prepd. as integrin antagonists. For example, (2R,S)-3-[3-(pyridin-3-ylmethylureido)biphenyl-4-yl]-2-[2,4,6-trimethylbenzenesulfonylamino]propanoic acid, prepd. by reactions of resin-bound (2R,S)-3-(4-bromophenyl)-2-(9-fluorenylmethoxycarbonylamino)propanoic acid with sulfonylating, boronic acid, and amine reagents (mesitylenesulfonyl chloride, 3-nitrobenzeneboronic acid, and 2-aminomethylpyridine), showed IC50 = 5 nM for binding to the αvβ3 receptor and IC50 = 480 nM in the smooth muscle cell migration test. Thus, the invention compds. are useful for the inhibition of angiogenesis and/or for therapy and prophylaxis of cancer, osteolytic diseases such as osteoporosis, arteriosclerosis, restenosis, rheumatoid arthritis, and ophthalmic disorders (no data).

IT **276261-89-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of biphenyl amino acid analogs as integrin antagonists for inhibition of angiogenesis and treatment of cancer, osteolytic diseases, arteriosclerosis, restenosis, rheumatoid arthritis, and ophthalmic disorders)

RN 276261-89-3 HCAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, 3'-[[[(5-methyl-3-isoxazolyl)amino]carbonyl]amino]-α-[[(2,4,6-trimethylphenyl)sulfonyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 15 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN

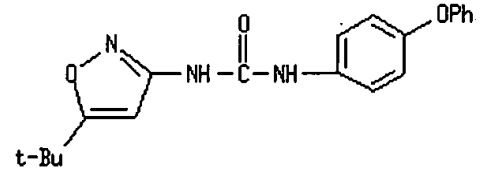
Full Text | Citing References

ACCESSION NUMBER: 2001:746592 HCAPLUS
DOCUMENT NUMBER: 136:95577
TITLE: Discovery of heterocyclic ureas as a new class of raf kinase inhibitors: identification of a second generation lead by a combinatorial chemistry approach
AUTHOR(S): Smith, R. A.; Barbosa, J.; Blum, C. L.; Bobko, M. A.; Caringal, Y. V.; Dally, R.; Johnson, J. S.; Katz, M. E.; Kennure, N.; Kingery-Wood, J.; Lee, W.; Lowinger, T. B.; Lyons, J.; Marsh, V.; Rogers, D. H.; Swartz, S.; Walling, T.; Wild, H.
CORPORATE SOURCE: Department of Chemistry Research, Bayer Research Center, West Haven, CT, 06516, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(20), 2775-2778
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Heterocyclic ureas, such as N-3-thienyl N'-aryl ureas, have been identified as novel inhibitors of raf kinase, a key mediator in the ras signal transduction pathway. Structure-activity relationships were established, and the potency of the screening hit was improved 10-fold to IC50=1.7 μM. A combinatorial synthesis approach enabled the identification of a breakthrough lead (IC50=0.54 μM) for a second generation series of heterocyclic urea raf kinase inhibitors.

IT **228998-90-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(heterocyclic ureas as raf kinase inhibitors)

RN 228998-90-1 HCAPLUS
CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 16 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN

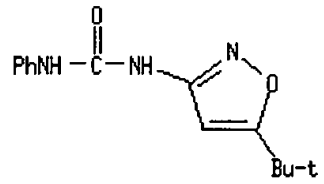
Full Text | Citing References

ACCESSION NUMBER: 2000:619238 HCAPLUS
DOCUMENT NUMBER: 133:329122
TITLE: Discovery of a new class of p38 kinase inhibitors
AUTHOR(S): Dumas, J.; Sibley, R.; Riedl, B.; Monahan, M. K.; Lee, W.; Lowinger, T. B.; Redman, A. M.; Johnson, J. S.; Kingery-Wood, J.; Scott, W. J.; Smith, R. A.; Bobko, M.; Schoenleber, R.; Ranges, G. E.; Housley, T. J.; Bhargava, A.; Wilhelm, S. M.; Shrikhande, A.
CORPORATE SOURCE: Department of Chemistry Research, Bayer Research Center, West Haven, CT, 06516, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(18), 2047-2050
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:329122

AB The MAP kinase p38 has been implicated in cytokine signaling, and its inhibitors are potentially useful for the treatment of arthritis and osteoporosis. Novel small-mol. inhibitors of p38 kinase were derived from a combinatorial chem. effort and exhibit activity in the nanomolar range. Very steep structure-activity relationships are obsd. within this class.

IT 55807-76-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(discovery of a new class of p38 kinase inhibitors)

RN 55807-76-6 HCAPLUS
CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 17 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2000:421093 HCAPLUS
DOCUMENT NUMBER: 133:43809
TITLE: Preparation of new biphenyl and biphenyl-analogous compounds as integrin antagonists
INVENTOR(S): Albers, Markus; Urbahns, Klaus; Vaupel, Andrea; Harter, Michael; Schmidt, Delf; Stelte-ludwig, Beatrix; Gerdes, Christoph; Stahl, Elke; Keldenich, Jorg; Bruggemeier, Ulf; Lustig, Klemens
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany; et al.
SOURCE: PCT Int. Appl., 360 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000035864	A1	20000622	WO 1999-EP9843	19991213
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1140809	A1	20011010	EP 1999-967934	19991213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9916367	A	20011030	BR 1999-16367	19991213
EE 200100317	A	20020815	EE 2001-317	19991213
JP 2002532465	T2	20021002	JP 2000-588126	19991213
NZ 512339	A	20030328	NZ 1999-512339	19991213
AU 761407	B2	20030605	AU 2000-24312	19991213
ZA 2001014432	A	20020530	ZA 2001-14432	20010530
BG 105574	A	20020131	BG 2001-105574	20010607
NO 2001002975	A	20010813	NO 2001-2975	20010615
HR 2001000531	A1	20020831	HR 2001-531	20010716
PRIORITY APPLN. INFO.:			US 1998-213381 A	19981216
			WO 1999-EP9843 W	19991213

OTHER SOURCE(S): MARPAT 133:43809

AB Biphenylyl compds. R1O2CCHR2-U-V-A-B-W-NR3-C-R4 [R1 = H, (un)substituted alkyl, cycloalkyl, aryl, or (un)satd. heterocyclyl; R2 = H, (un)substituted alkyl, cycloalkyl, aryl, or (un)satd. heterocyclyl, alkenyl, alkynyl, -NR2'SO2R2'', -NR2'CO2R2', -NR2'COR2', -NR2'CONR2'2, -NR2'CSNR2'2 (R2' has same definition as R1 and R2'' has same definition as R1 except it is not H); U or W is a direct bond or (un)substituted alkylene; V = (un)substituted alkylene, -NR2'CO- or NR2'SO2-; A and B = (un)substituted 1,3- or 1,4-bridging phenylene group or a 2,4- or 2,5-bridging thienylene group, each of which may have substituents; C is a direct bond, CMe(:X-R5)-Y-N(R6)- (R5 is absent, H, (un)substituted alkyl or cycloalkyl, NO2, acyl, carboxylic or carboxylate group or is connected to R3, Y, R4 or R6, if present; R6 is H, (un)substituted alkyl, cycloalkyl, aryl, or (un)satd. heterocyclyl, an alkylamine or alkylamide residue, or is connected to one of R3, R4, Y, or R5, if present, to form a heterocyclic ring system; X = CHNO2, CHCN, O, N or S; Y is a direct bond or (un)substituted alkylene or alkyne group) or 3,4-dioxo-1,2-cyclobutenediyl-NR6-; R3, R4 = H, (un)substituted alkyl, cycloalkyl, aryl, or (un)satd. heterocyclyl, an alkylamine or alkylamide residue, or is connected to one of R4 (or R3), Y, R5 or R6, if present, to form a heterocyclic ring system] were prepd. as integrin antagonists. Thus, (2R,S)-3-[3-(pyridin-3-ylmethylureido)biphenyl-4-yl]-2-[2,4,6-trimethylbenzenesulfonylamino]propanoic acid, prepd. by reactions of resin-bound (2R,S)-3-(4-bromophenyl)-2-(9-fluorenylmethoxycarbonylamino)propanoic acid with sulfonylating, boronic acid, and amine reagents (mesitylenesulfonyl chloride, 3-nitrobenzeneboronic acid, and 2-aminomethylpyridine), showed IC50 = 5 nM for binding to the αvβ3 receptor and IC50 = 480 nM in the smooth muscle cell migration test.

IT **276261-89-3P**

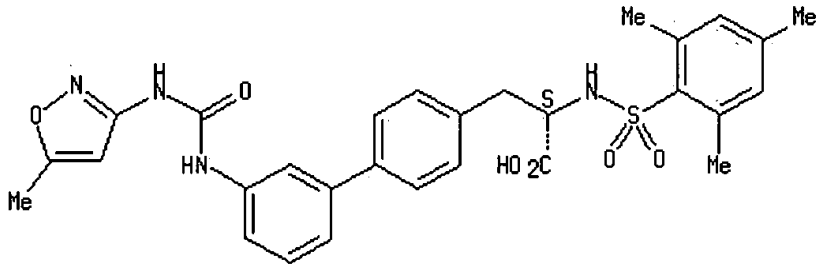
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of new biphenyl and biphenyl-analogous compds. as integrin antagonists)

RN 276261-89-3 HCAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, 3'-[[[(5-methyl-3-isoxazolyl)amino]carbonyl]amino]-α-[[(2,4,6-trimethylphenyl)sulfonyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

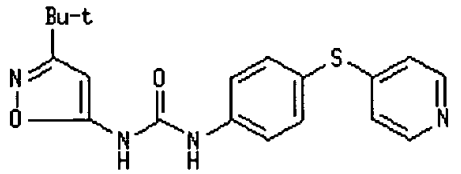
L12 ANSWER 18 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION-NUMBER: 1999:425745 HCAPLUS
DOCUMENT NUMBER: 131:87909
TITLE: Inhibition of p38 kinase activity using substituted heterocyclic ureas
INVENTOR(S): Dumas, Jacques; Khire, Uday; Lowinger, Timothy Bruno; Paulsen, Holger; Riedl, Bernd; Scott, William J.; Smith, Roger A.; Wood, Jill E.; Hatoum-Mokdad, Holia; Johnson, Jeffrey; Lee, Wendy; Redman, Aniko
PATENT ASSIGNEE(S): Bayer Corporation, USA
SOURCE: PCT Int. Appl., 126 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9932111	A1	19990701	WO 1998-US26080	19981222
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2315720	AA	19990701	CA 1998-2315720	19981222
AU 9919971	A1	19990712	AU 1999-19971	19981222
AU 739642	B2	20011018		
EP 1041982	A1	20001011	EP 1998-964709	19981222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

JP 2001526223 T2 20011218 JP 2000-525102 19981222
PRIORITY APPLN. INFO.: US 1997-995750 A 19971222
WO 1998-US26080 W 19981222
OTHER SOURCE(S): MARPAT 131:87909
GI

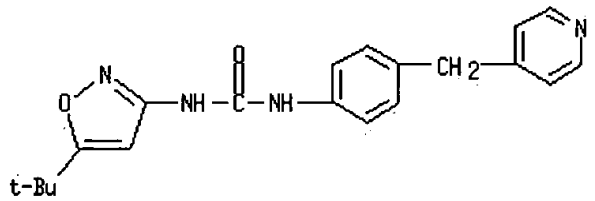


II

AB A method for treatment of p38-mediated disease other than cancer comprises administration of ANHCONHB [I; A = substituted isoxazolyl, pyrazolyl, thienyl, furyl; B = (substituted) mono-, di-, or tricyclic aryl, heteroaryl contg. ≥1 5-6 membered arom. structure contg. 0-4 N, O, or S atoms]. Reaction of 4-(4-pyridinylthio)aniline with 3-tert-butyl-5-isoxazolyl isocyanate in toluene gave title compd. II. In an in vitro p38 kinase assay, I displayed IC50 values of 1-10 μM.

IT 228998-95-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of substituted heterocyclic ureas for treatment of p38 kinase-mediated diseases other than cancer)

RN 228998-95-6 HCAPLUS
CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[4-(4-pyridinylmethyl)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 19 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

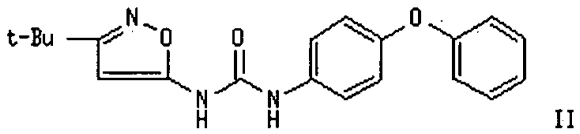
ACCESSION NUMBER: 1999:425740 HCAPLUS
DOCUMENT NUMBER: 131:73648
TITLE: Inhibition of raf kinase using substituted heterocyclic ureas
INVENTOR(S): Dumas, Jacques; Khire, Uday; Lowinger, Timothy Bruno; Paulsen, Holger; Riedl, Bernd; Scott, William J.; Smith, Roger A.; Wood, Jill E.; Hatoum-Mokdad, Holia; Johnson, Jeffrey; Lee, Wendy; Redman, Aniko
PATENT ASSIGNEE(S): Bayer Corporation, USA
SOURCE: PCT Int. Appl., 163 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9932106	A1	19990701	WO 1998-US26078	19981222
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2315717	AA	19990701	CA 1998-2315717	19981222
AU 9921989	A1	19990712	AU 1999-21989	19981222
EP 1047418	A1	20001102	EP 1998-965981	19981222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2001526220	T2	20011218	JP 2000-525097	19981222
BR 9814374	A	20020514	BR 1998-14374	19981222
NO 2000003232	A	20000821	NO 2000-3232	20000621
BG 104597	A	20010228	BG 2000-104597	20000712

PRIORITY APPLN. INFO.: US 1997-996343 A 19971222
WO 1998-US26078 W 19981222

OTHER SOURCE(S): MARPAT 131:73648
GI

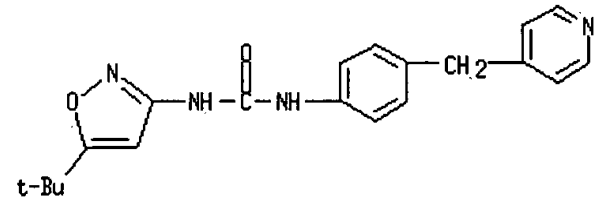


II

AB A method for treatment of cancerous cell growth mediated by raf kinase comprises administration of urea derivs. ANHCONHB [I; A = substituted isoxazolyl, thienyl, thiadiazolyl, furyl, pyrazolyl, etc.; B = (substituted) mono-, di-, or tricyclic aryl, heteroaryl contg. ≥1 5-6 membered arom. structure contg. 0-4 N, O, or S atoms]. Reaction of 4-phenyloxyphenyl isocyanate with 5-amino-3-tert-butylisoxazole in methylene chloride and heating at reflux temp. for 2 days gave title compd. II. In an in vitro raf kinase assay, I displayed IC50 values of 1-10 μM.

IT **228998-95-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of substituted heterocyclic ureas for treatment of cancerous cell growth mediated by raf kinase)

RN 228998-95-6 HCAPLUS
CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[4-(4-pyridinylmethyl)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 20 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 1999:325902 HCAPLUS
DOCUMENT NUMBER: 130:352546
TITLE: Preparation of amides containing leucine or methionine for inhibition of the interaction of vascular cell-adhesion molecule-1 (VCAM-1)and fibronectin with integrin very late antigen 4 (α4β1)
INVENTOR(S): Brittain, David Robert; Johnstone, Craig
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9924398	A2	19990520	WO 1998-GB3334	19981109
WO 9924398	A3	19990805		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2308716	AA	19990520	CA 1998-2308716	19981109
AU 9910420	A1	19990531	AU 1999-10420	19981109
EP 1030835	A2	20000830	EP 1998-952872	19981109
EP 1030835	B1	20030122		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001522831	T2	20011120	JP 2000-520412	19981109
AT 231488	E	20030215	AT 1998-952872	19981109
ZA 9810330	A	19990512	ZA 1998-10330	19981111
NO 2000002158	A	20000711	NO 2000-2158	20000427
US 6344570	B1	20020205	US 2000-554224	20000711

PRIORITY APPLN. INFO.: GB 1997-23789 A 19971112
WO 1998-GB3334 W 19981109

OTHER SOURCE(S): MARPAT 130:352546
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1 = II (in the para or meta position); R2, R3 = H, NO2, alkyl, etc.; R2 and R3 together with the Ph to which they are attached form a 9-10 membered bicyclic ring system; R4 = alkyl; R5 = H, alkyl; R6 = alkyl, alkylcycloalkyl, alkylalkoxyl, etc.; R7 = alkyl, alkoxylcarbonyl, alkenyl, etc.; R8 = (un)substituted aryl, heteroaryl, bicyclic heteroaryl ring system linked to the nitrogen via a ring carbon, etc.; R9, R10 = H, alkyl; NR8R9 = dihydroindolyl, dihydroquinolinyl; R11 = CO2H, tetrazolyl, alkyl sulfonylcarbamyl, sulfo, sulfino; Y = O, S, SO2; m = 0-1; n = 0-4; with the proviso that when m and n cannot both be 0 and when m = 1, n = 0] and their pharmaceutically acceptable salts, useful in the treatment of multiple sclerosis, rheumatoid arthritis, asthma, coronary artery disease and psoriasis, were prepd. E.g., a multi-step synthesis of amide III was given. Compds. I are effective at 0.1-15 mg/kg/day.

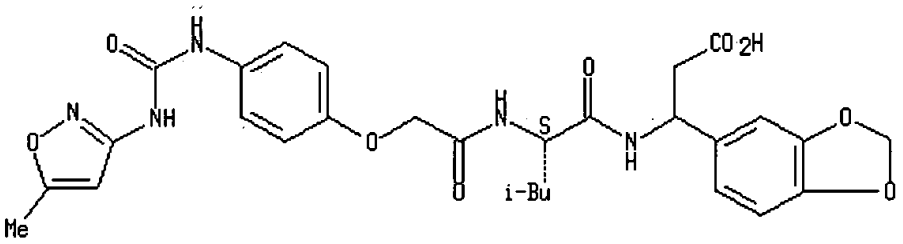
IT **225101-10-0P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amides contg. leucine or methionine for inhibition of the interaction of vascular cell-adhesion mol.-1 (VCAM-1)and fibronectin with integrin very late antigen 4 (α4β1))

RN 225101-10-0 HCAPLUS

CN β-Alanine, N-[[4-[[[(5-methyl-3-isoxazolyl)amino]carbonyl]amino]phenoxy]acetyl]-L-leucyl-3-(1,3-benzodioxol-5-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 21 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 1997:314759 HCAPLUS
DOCUMENT NUMBER: 127:28623
TITLE: Structure-activity study of antiepileptic N-Arylisoxazolecarboxamides/N-isoxazolylbenzamide analogs using Wiener's topological index
AUTHOR(S): Goel, Anshu; Madan, A. K.
CORPORATE SOURCE: Shripati Singhania RandD Centre, JK Pharmaceuticals, Faridabad, 121003, India
SOURCE: Structural Chemistry (1997), 8(2), 155-159
CODEN: STCHES; ISSN: 1040-0400
PUBLISHER: Plenum
DOCUMENT TYPE: Journal
LANGUAGE: English

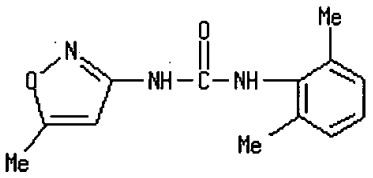
AB The relationship between Wiener's topol. index and the antiepileptic activity of a series of N-aryl-isoxazole carboxamides/N-isoxazolylbenzamide analogs has been investigated. Values of Wiener's topol. index for 69 compds. constituting the training set were computed and an active range was identified. Each analog was subsequently assigned an activity which was then compared with the reported antiepileptic

activity against the maximal electroshock seizure (MES) test. Due to significant correlation between antiepileptic activity and Wiener's topol. index, it was possible to predict antiepileptic activity with an accuracy of ~91% in the active range.

IT 130403-16-6
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antiepileptic activity correlation with Wiener's topol. index)

RN 130403-16-6 HCAPLUS

CN Urea, N-(2,6-dimethylphenyl)-N'-(5-methyl-3-isoxazolyl)- (9CI) (CA INDEX NAME)

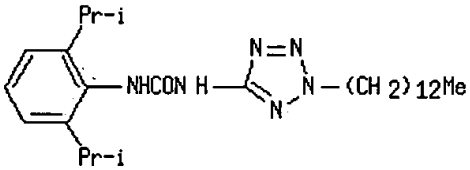


REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 22 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 1996:618919 HCAPLUS
DOCUMENT NUMBER: 126:8043
TITLE: Heterocyclic Ureas: Inhibitors of Acyl-CoA:Cholesterol O-Acyltransferase as Hypocholesterolemic Agents
AUTHOR(S): White, Andrew D.; Creswell, Mark W.; Chucholowski, Alexander W.; Blankley, C. John; Wilson, Michael W.; Bousley, Richard F.; Essenburg, Arnold D.; Hamelehle, Katherine L.; Krause, Brian R.; et al.
CORPORATE SOURCE: Department of Medicinal Chemistry, Parke-Davis Pharmaceutical Research, Ann Arbor, MI, 48105, USA
SOURCE: Journal of Medicinal Chemistry (1996), 39(22), 4382-4395
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB A series of diaryl-substituted heterocyclic ureas was prepd., and their ability to inhibit acyl-CoA:cholesterol O-acyltransferase (ACAT) in vitro and to lower plasma total cholesterol in cholesterol-fed animal models in vivo was examd. N-(2,6-Diisopropylphenyl)-N'-tetrazole- or isoxazole-substituted heterocyclic ureas proved optimal. A carbon chain of 11-14 carbons substituted 1,3 with respect to the amine provided the optimal side chain. Substitution of the alkyl chain generally lowered activity. Tetrazole urea I dosed at 3 mg/kg lowered plasma total

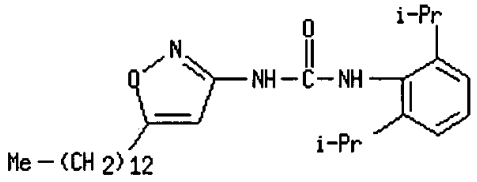
cholesterol (TC) 67% in an acute, cholesterol-fed (C-fed) rat model of hypercholesterolemia and 47% in C-fed dogs. Tetrazole I, dosed at 10 mg/kg, also lowered TC 52% and raised HDL cholesterol 113% in rats with pre-established hypercholesterolemia.

IT **146134-86-3P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of hypocholesteremic cholesterol acyltransferase inhibitor heterocyclic ureas)

RN 146134-86-3 HCAPLUS

CN Urea, N-[2,6-bis(1-methylethyl)phenyl]-N'-(5-tridecyl-3-isoxazolyl)- (9CI) (CA INDEX NAME)



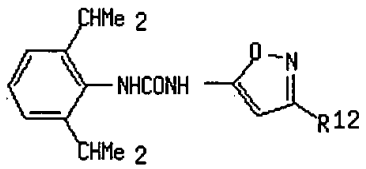
L12 ANSWER 23 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 1993:147556 HCAPLUS
DOCUMENT NUMBER: 118:147556
TITLE: Preparation of N-azolyl-N'-phenyl(thio)ureas as cholesterol acyltransferase inhibitors
INVENTOR(S): Creswell, Mark W.; White, Andrew D.
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
SOURCE: U.S., 13 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5162360	A	19921110	US 1991-719878	19910624

PRIORITY APPLN. INFO.: US 1991-719878 19910624
OTHER SOURCE(S): MARPAT 118:147556
GI



I

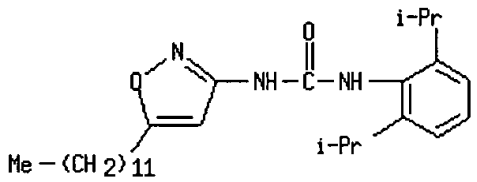
AB RNHC(:X)NHR13 [R = (substituted) Ph; R13 = (substituted) azolyl; X = O, S] were prepd. Thus, tetradecyne was condensed with PhOCN and the resultant Me(CH2)11C≡CCN was cyclocondensed with H2NOH to give 5-amino-3-dodecylisoxazole, which was condensed with 2,6-(Me2HC)2C6H3NCO to give title compd. I (R12 = dodecyl). I (R12 = undecyl) gave 67% redn. in blood cholesterol in rats receiving 3 mg/kg orally.

IT **146134-79-4P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as cholesterol acyltransferase inhibitor)

RN 146134-79-4 HCAPLUS

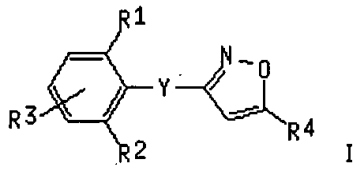
CN Urea, N-[2,6-bis(1-methylethyl)phenyl]-N'-(5-dodecyl-3-isoxazolyl)- (9CI) (CA INDEX NAME)



L12 ANSWER 24 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 1993:59624 HCAPLUS
DOCUMENT NUMBER: 118:59624
TITLE: New N-aryl isoxazolecarboxamides and N-isoxazolyl benzamides as anticonvulsant agents
AUTHOR(S): Lepage, F.; Tombret, F.; Cuvier, G.; Marivain, A.; Gillardin, J. M.
CORPORATE SOURCE: Cent. Rech., Lab. BIOCODEX, Compiegne, 60200, Fr.
SOURCE: European Journal of Medicinal Chemistry (1992), 27(6), 581-93
CODEN: EJMCA5; ISSN: 0223-5234
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

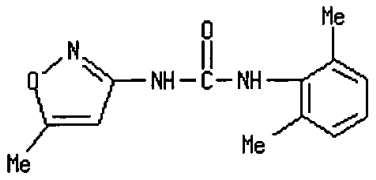


I

AB A series of N-aryl isoxazolecarboxamides, e.g., I (R1 = H, Me, OMe, CF3, Ph, CH2Ph, CHMe2; R2 = H, Me, CHMe2, CO2Et, CO2H, NO2, NH2; R3 = H, 4-Me, 3-, 4-Br, 4-, 5-OMe; R4 = H, Me, Et, CHMe2, CMe3, Ph, COMe, CH2OH, CH2F, CH2Cl, CH2OMe, CH2OPh, CH2OAc; Y = NHCO, NMeCO, NEtCO) and N-isoxazolyl benzamides, e.g., I (R1 = R2 = R4 = Me, R3 = H, 4-Me; Y = CONH) were prepd. and their anticonvulsant action in maximal electroshock seizure (MES) and maximal metrazole seizure (MMS) tests were studied. Some of these reveal considerable activity, esp. with respect to MES test. Disubstitution in the 2,6-position on the Ph ring by two Me groups appear to be of primary importance for the activity. The amide bridge between the Ph and isoxazole rings, whether of the anilide or benzamide type, show similar anticonvulsant behavior. I (R1 = R2 = Me, R3 = H, R4 = Me, CH2OH; Y = NHCO; R1 = R2 = R4 = Me, R3 = H, Y = CONH) are presently being studied in more extended pharmacol. tests.

IT 130403-16-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological

study); PREP (Preparation)
(prepn. and anticonvulsant activity of)
RN 130403-16-6 HCAPLUS
CN Urea, N-(2,6-dimethylphenyl)-N'-(5-methyl-3-isoxazolyl)- (9CI) (CA INDEX NAME)



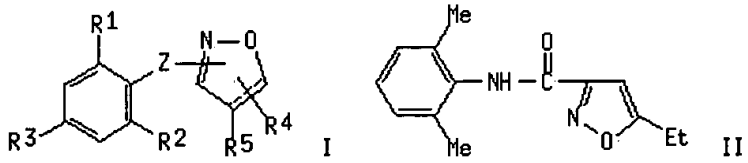
L12 ANSWER 25 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 1990:611964 HCAPLUS
DOCUMENT NUMBER: 113:211964
TITLE: Preparation of isoxazole-and isoxazolinecarboxanilides as anticonvulsants
INVENTOR(S): Lepage, Francis; Hublot, Bernard
PATENT ASSIGNEE(S): NOVAPHARME S.a r.l., Fr.
SOURCE: Eur. Pat. Appl., 16 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 371876	A1	19900606	EP 1989-403300	19891128
EP 371876	B1	19931124		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
FR 2639636	A1	19900601	FR 1988-15718	19881130
FR 2639636	B1	19940304		
AT 97664	E	19931215	AT 1989-403300	19891128
US 5059614	A	19911022	US 1989-443133	19891129

PRIORITY APPLN. INFO.: FR 1988-15718 19881130
EP 1989-403300 19891128
OTHER SOURCE(S): CASREACT 113:211964; MARPAT 113:211964
GI



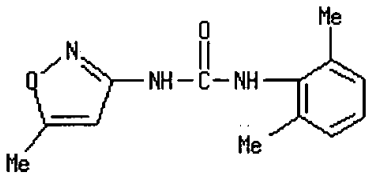
AB The title compds. I [R1, R2 = C1-4 alkyl, Ph, PhCH2, CF3, halo; R3 = H, OH, alkyl, etc.; R4 (in position 3 or 5) = H, CF3, hydroxyalkyl, etc.; R5 = H, alkyl; or R4R5 = tetramethylene; Z (in position 3 or 4 of the heterocycle) = NR6CO, CONR6, NHCOCHR6, etc.; R6 = H, alkyl; dotted line indicates possible double bond; a proviso is given] were prepd. A mixt. of 5-ethylisoxazole-3-carboxylic acid and carbonyldiimidazole in DMF was stirred for 2 h at room temp. After addn. of 2,6-dimethylaniline, the reaction mixt. was stirred for 48 h to give the corresponding anilide

(II). II at 37.5 mg/kg i.p. in mice gave 60% protection from electroshock-induced convulsion.

IT **130403-16-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as anticonvulsant)

RN 130403-16-6 HCAPLUS

CN Urea, N-(2,6-dimethylphenyl)-N'-(5-methyl-3-isoxazolyl)- (9CI) (CA INDEX NAME)



L12 ANSWER 26 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 1984:156593 HCAPLUS
DOCUMENT NUMBER: 100:156593
TITLE: Urea derivatives
PATENT ASSIGNEE(S): Ube Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 58203957	A2	19831128	JP 1982-87276	19820525
US 4514571	A	19850430	US 1983-496179	19830519

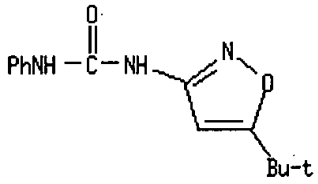
PRIORITY APPLN. INFO.: JP 1982-87276 19820525

AB Fourteen urea derivs. RNHCONR1R2 [R = alkyl, aryl, pyridyl, (is)oxazolyl; R1, R2 = H, (cyclo)alkyl, aryl] were prepd. by treating RCON-XNa+ (X = halo) with quaternary ammonium salts and treating the resulting RCON-XQ+ (Q = quaternary ammonium) with NH3, primary or secondary amines. Thus, treating 1.843 g (5-tert-butyl-3-isoxazolyl)formamide with Bu4N+Br-, NaOCl, and NaOH at < 18° for 30 min and autoclaving the resulting oil with 4.6 g MeNH2 in toluene at 85° for 90 min gave 95.5% N-methyl-N'-(5-tert-butyl-3-isoxazolyl)urea.

IT **55807-76-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 55807-76-6 HCAPLUS

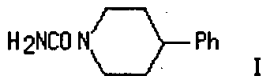
CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-phenyl- (9CI) (CA INDEX NAME)



L12 ANSWER 27 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 1983:119154 HCAPLUS
DOCUMENT NUMBER: 98:119154
TITLE: Comparative pharmacodynamic study of variously substituted carboxamides on the central nervous system
AUTHOR(S): Foussard-Blanpin, Odette
CORPORATE SOURCE: Lab. Pharmacodyn., U.E.R. Sci. Pharm., Tours, F 37032, Fr.
SOURCE: Annales Pharmaceutiques Francaises (1982), 40(4), 339-50
CODEN: APFRAD; ISSN: 0003-4509
DOCUMENT TYPE: Journal
LANGUAGE: French
GI



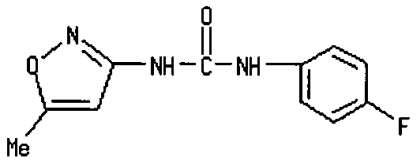
I

AB Most of the 31 carboxamides tested showed sedative activity in mice, antagonizing the effects of amphetamine and reinforcing the cataleptic activity of chlorpromazine, the hypnotic activity of pentobarbital, and the analgesic activity of morphine. Some of the derivs., and in particular I [16568-66-4], also showed anticonvulsant and analgesic activity. Structure-activity relations are discussed.

IT **84882-78-0**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(central nervous system activity of, structure in relation to)

RN 84882-78-0 HCAPLUS
CN Urea, N-(4-fluorophenyl)-N'-(5-methyl-3-isoxazolyl)- (9CI) (CA INDEX NAME)



L12 ANSWER 28 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 1979:49639 HCAPLUS
DOCUMENT NUMBER: 90:49639
TITLE: Isoxazole herbicidal compositions

INVENTOR(S): Yukinaga, Hisajiro; Sumimoto, Shinzaburo; Ishizuka, Ichiro; Sugita, Jitsuo
PATENT ASSIGNEE(S): Shionogi and Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 53086033	A2	19780729	JP 1977-126454	19771020
JP 54044723	B4	19791227		
JP 58017751	B4	19830409	JP 1978-125981	19781012
JP 54059272	A2	19790512		

PRIORITY APPLN. INFO.: JP 1977-126454 19771020
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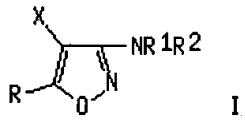
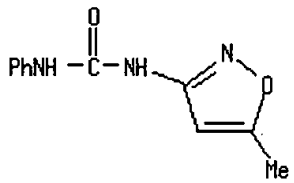


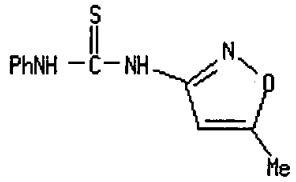
I

AB Isoxazoles I [R = H, alkyl, or aryl; R1 = H or alkyl; R2 = acyl, CON(R3)(R4) (R3 and R4 = H, alkyl, alkenyl, etc.), or COYR5 (R5 = alkyl, alkenyl, alkynyl, etc.; Y = O or S); X = H, alkyl, or halogen] are herbicides. Thus, 1-methyl-3-(5-tert-butyl-3-isoxazolyl)urea [55807-46-0] at 10-30 g/are killed Echinochloa, Digitaria, Polygonum blumei, and Amaranthus infested in wheat fields.
IT **16279-38-2**
RL: BIOL (Biological study)
(prepn. as herbicide)
RN 16279-38-2 HCAPLUS
CN Urea, N-(5-methyl-3-isoxazolyl)-N'-phenyl- (9CI) (CA INDEX NAME)



L12 ANSWER 29 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN
Full Text | Citing References
ACCESSION NUMBER: 1977:601424 HCAPLUS
DOCUMENT NUMBER: 87:201424
TITLE: Mononuclear heterocyclic rearrangements. Part 11. Rearrangements of 1,2,4-oxadiazoles, isoxazoles, and 1,2,5-oxadiazoles involving a sulfur atom
AUTHOR(S): Vivona, Nicolo; Cusmano, Giuseppe; Macaluso, Gabriella
CORPORATE SOURCE: Ist. Chim. Org., Univ. Palermo, Palermo, Italy
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1977), (14), 1616-19
CODEN: JCPRB4; ISSN: 0300-922X

DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 87:201424
AB The reactions of 3-amino-5-methyl- and 3-amino-5-phenyl-1,2,4-oxadiazoles, 3-amino-5-methylisoxazole, and 3-amino-4-methyl- and 3-amino-4-phenyl-1,2,5-oxadiazoles with PhNCS was studied and the reactivity of the corresponding phenylthioureido derivs. towards rearrangement was investigated. The presence of a S atom in the side chain sequence (NCS) of the heterocyclic rings, greatly enhanced the reactivity of the systems towards rearrangement in the order 1,2,4-oxadiazole > isoxazole > 1,2,5-oxadiazole.
IT **64821-97-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and rearrangement of)
RN 64821-97-2 HCAPLUS
CN Thiourea, N-(5-methyl-3-isoxazolyl)-N'-phenyl- (9CI) (CA INDEX NAME)



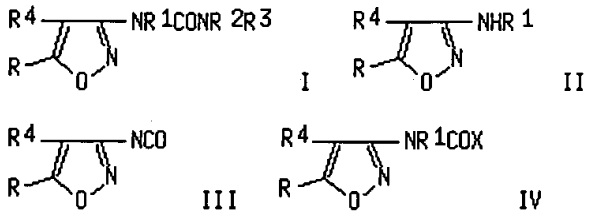
L12 ANSWER 30 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 1977:43688 HCAPLUS
DOCUMENT NUMBER: 86:43688
TITLE: 3-Isoxazolylureas
INVENTOR(S): Sumimoto, Shinzaburo; Yukinaga, Hisajiro; Ishizuka, Ichiro; Sugita, Jitsuo
PATENT ASSIGNEE(S): Shionogi and Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 51063170	A2	19760601	JP 1974-136722	19741127

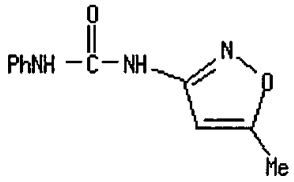
PRIORITY APPLN. INFO.: JP 1974-136722 19741127
GI



AB 3-Isoxazolylureas I (R1 = H, alkyl; R2 and R3 = H, alkyl, aryl, alkoxy, alkylthio, or NR2R3 = heterocyclyl; R4 = H, alkyl, halo; R = H, alkyl,

aryl, or R4R = alkylene, were prepd. by treating (a) amines II with R2NCO, (b) isocyanates III with HNR2R3, (c) II with XCONR2R3, (d) IV with HNR2R3, or (e) halogenating I (R4 = H) or introducing alkyl or alkythio groups to the side chain. I are herbicides or algicides (no data). Thus, 16.82 g II (R1 = H, R = Me3C, R4 = H) was stirred with MeNCO and a little Et3N in C6H6 for 8 hr, kept overnight, and refluxed for 1 hr to give 21.61 g I (R = Me3C, R2 = Me, R1 = R3 = R4 = H). Among 159 more I prepd. were (R, R4, R1, R2, and R3 given): Me3C, H, Me, Me, Me; Me, H, Me, H, H; Me, H, H, Me, SBu; Me3C, Br, H, Me, Me.

IT **16279-38-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 16279-38-2 HCAPLUS
CN Urea, N-(5-methyl-3-isoxazolyl)-N'-phenyl- (9CI) (CA INDEX NAME)



L12 ANSWER 31 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN

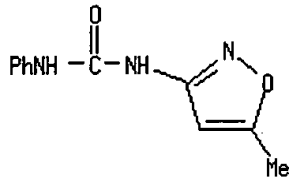
Full Text | Citing References

ACCESSION NUMBER: 1975:156264 HCAPLUS
DOCUMENT NUMBER: 82:156264
TITLE: Herbicidal isoxazole derivatives
INVENTOR(S): Yukinaga, Hisajiro; Sumimoto, Shinzaburo; Ishisuka, Ichiro; Sugita, Jitsuo
PATENT ASSIGNEE(S): Shionogi and Co., Ltd.
SOURCE: Ger. Offen., 34 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2436179		19750206		
BE 813161			BE	
FR 2245645			FR	
JP 49031039		19740000	JP	
NL 7410205			NL	
ZA 7404786		19740000	ZA	

PRIORITY APPLN. INFO.: JP 1973-85339 19730727
GI For diagram(s), see printed CA Issue.
AB Isoxazoles (>200 compds.) prepd. include I (R = CMe3, CHMe2; R1 = H, Me; R2 = NHMe, NMe2, NMeOMe, NMeBu, NMeCH2CH:CH2, diallylamino, morpholino, NMeSBu, OMe, SMe). Thus 16.82 g 3-amino-5-tert-butylisoxazole was treated with 8.9 g MeNCO to give 21.61 g I (R = CMe3, R1 = H, R2 = NHMe) which at 10 g/acre, both pre- and post-emergence, gave >90% kill of Brassica campestris and Amarantus retroflexus.

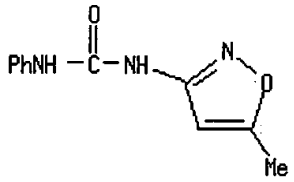
IT **16279-38-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 16279-38-2 HCAPLUS
CN Urea, N-(5-methyl-3-isoxazolyl)-N'-phenyl- (9CI) (CA INDEX NAME)



L12 ANSWER 32 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 1968:2862 HCAPLUS
DOCUMENT NUMBER: 68:2862
TITLE: Heterocyclic rearrangements. X. Generalized monocyclic rearrangement
AUTHOR(S): Boulton, A. J.; Katritzky, Alan R.; Hamid, Abdul M.
CORPORATE SOURCE: Univ. East Anglia, Norwich, UK
SOURCE: Journal of the Chemical Society [Section] C: Organic (1967), (20), 2005-7
CODEN: JSOOAX; ISSN: 0022-4952
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 68:2862
GI For diagram(s), see printed CA Issue.
AB A new general class of rearrangements of substituted azoles (I) → (II) is recognized, scattered examples from the literature are collated, and further examples described. 30 references.
IT **16279-38-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 16279-38-2 HCAPLUS
CN Urea, N-(5-methyl-3-isoxazolyl)-N'-phenyl- (9CI) (CA INDEX NAME)



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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
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FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

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L2               2 S L1
L3             768 S L1 FULL

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L4              44 S L3/THU
L5              10 S L4 AND CANC?
L6              34 S L4 NOT L5

     FILE 'CAOLD' ENTERED AT 11:38:43 ON 09 MAR 2004
L7              14 S L3
L8               0 S L7 AND CANC?

     FILE 'REGISTRY' ENTERED AT 11:39:43 ON 09 MAR 2004

     FILE 'CAOLD' ENTERED AT 11:39:43 ON 09 MAR 2004

     FILE 'REGISTRY' ENTERED AT 11:39:55 ON 09 MAR 2004

     FILE 'CAOLD' ENTERED AT 11:39:56 ON 09 MAR 2004

     FILE 'REGISTRY' ENTERED AT 11:40:06 ON 09 MAR 2004

     FILE 'CAOLD' ENTERED AT 11:40:07 ON 09 MAR 2004

     FILE 'REGISTRY' ENTERED AT 11:40:18 ON 09 MAR 2004

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     FILE 'CAOLD' ENTERED AT 11:41:41 ON 09 MAR 2004

     FILE 'REGISTRY' ENTERED AT 11:41:50 ON 09 MAR 2004
L9             STRUCTURE UPLOADED
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L10           22 S L9
L11          360 S L9 FULL

     FILE 'HCAPLUS' ENTERED AT 11:45:10 ON 09 MAR 2004
L12           32 S L11

     FILE 'CAOLD' ENTERED AT 11:45:46 ON 09 MAR 2004

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L13            0 L11

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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
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FULL ESTIMATED COST                                     0.42     712.62

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                                     0.00     -52.67

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